

11/14/04

10/764,853

FILE 'REGISTRY' ENTERED AT 19:51:25 ON 14 NOV 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

Structure search

STRUCTURE FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2
DICTIONARY FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

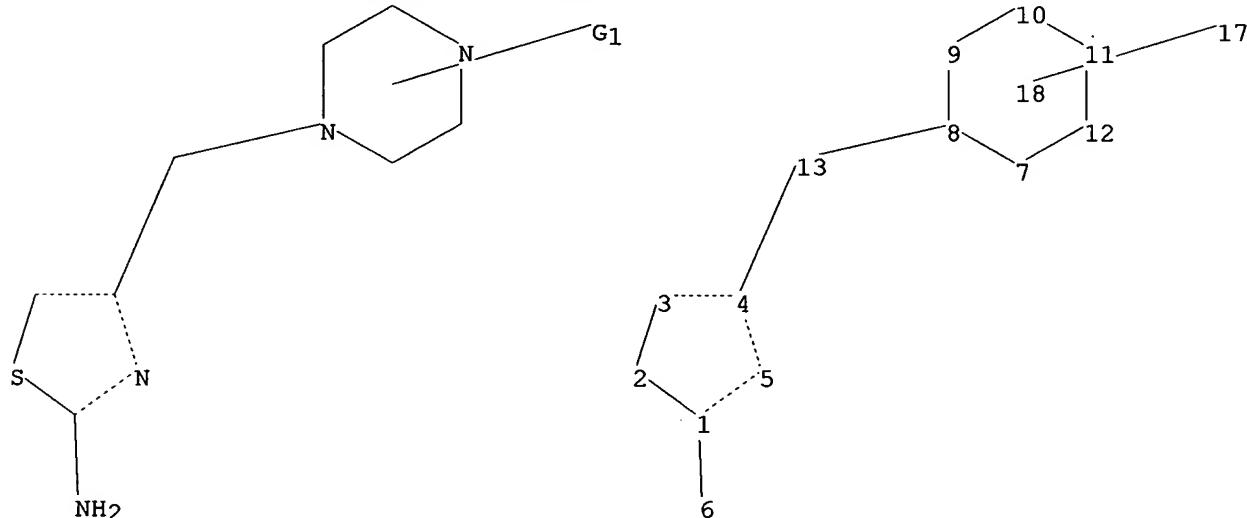
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

& Parkinson's

=>

Uploading C:\Program Files\Stnexp\Queries\10764853a.str



chain nodes :
6 13 17
ring nodes :
1 2 3 4 5 7 8 9 10 11 12
chain bonds :
1-6 4-13 8-13
ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-5 1-6 3-4 4-5 7-8 7-12 8-9 8-13 9-10 10-11 11-12
exact bonds :
1-2 2-3 4-13
isolated ring systems :
containing 1 : 7 :

G1:CH3,Et,H

Connectivity :

13:2 X maximum C chain

Match level :

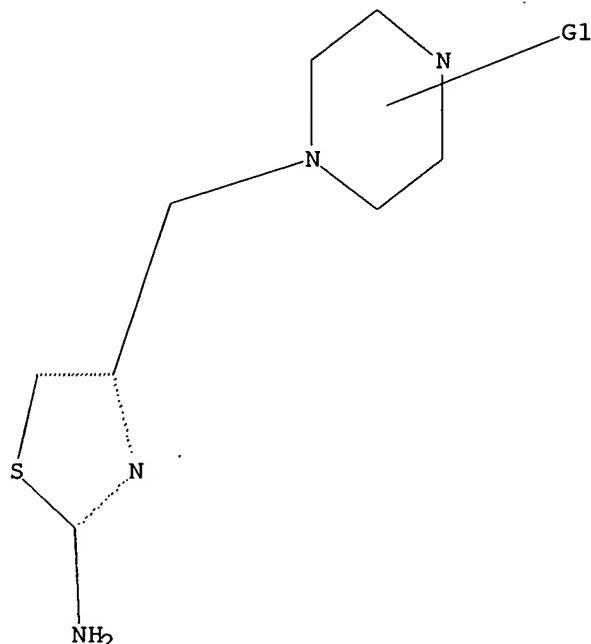
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 Me,Et,H

Structure attributes must be viewed using STN Express query preparation.

=> s L1 exa full

STRUCTURES CONTAINING VARIABLE NODES NOT VALID IN EXACT OR FAMILY SEARCH

STRUCTURES CONTAINING VARIABLE NODES NOT VALID IN EXACT OR FAMILY SEARCH

You have requested a full structure (EXA or FAM) search on a

structure containing one of the special variable-atom symbols

A, M, Q, or X, or a variable group G. Only bond variability

is allowed in structures for EXA or FAM searches. Variable

nodes are never permitted.

=> s L1 sss full

FULL SEARCH INITIATED 19:52:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2717 TO ITERATE

100.0% PROCESSED 2717 ITERATIONS
SEARCH TIME: 00.00.01

26 ANSWERS

L2

26 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
156.26	156.47

FILE 'CAPLUS' ENTERED AT 19:53:21 ON 14 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 14 Nov 2004 VOL 141 ISS 21
FILE LAST UPDATED: 12 Nov 2004 (20041112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L2 (L) parkinson?

7-L2

19395 PARKINSON?

1 L2 (L) PARKINSON?

L3

=> d all

DOCUMENT NUMBER: 138:368886

TITLE: Preparation of 4-(azinylmethyl)-substituted 2-aminothiazoline derivatives as inhibitors of inducible NO-synthase and their use in the treatment of Parkinson's disease

INVENTOR(S): Bigot, Antony; Carry, Jean-Christophe; Mignani, Serge
 Aventis Pharma S.A., Fr.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

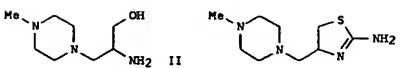
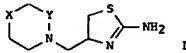
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040115	A1	20030515	WO 2002-FR3810	20021107
U: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KO, KR, KZ, LC, LR, LA, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, MZ, NO, NZ, OH, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TQ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, TU, ZA, ZN, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: CH, CM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CN, GA, GN, GQ, GW, ML, MR, MU, SN, TD, TC				
EP 2832152	A1	20030516	FR 2001-14510	20011109
BR 2002006354	A	20031223	BR 2002-6354	20021107
EP 1446393	A1	20040819	EP 2002-796039	20021107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, IR, BG, CZ, KK, SK				
US 2003166646	A1	20030904	US 2002-291084	20021108
US 6699867	B2	20040302		
US 2003003129	A	20030827	NO 2003-3129	20030708
US 2004157843	A1	20040812	US 2004-764053	20040126
			FR 2001-14510	A 20011109
			US 2002-352797P	P 20020130
			WO 2002-FR3810	U 20021107
			US 2002-291084	A1 20021108

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 138:368886

GI



III

AB The invention concerns the use of 2-aminothiazoline derivs. I or their pharmaceutically acceptable salts as inhibitors of inducible NO-synthase, i.e., NOS-2 [wherein: (a) Y = CH₂ and X = O, NH, N-alkyl, N-CH₂Ph, N-Ph, N-(2-pyridyl), N-(3-pyridyl), N-(4-pyridyl), N-(2-pyridyl), S, SO, SO₂, CH₂ or CH₃; or (b) Y = CO and X = NH, N-Ph, N-(5-pyridyl), N-(3-pyridyl), N-(4-pyridyl), N-(2-pyridyl), N-(5-pyridyl)]. A 5-step preparation of one example is given, plus 3 standard

formulations. Thus, addition reaction of N-methylpiperazine with Me-2-acetamidoacrylate, reduction of the obtained ester to the alc., and hydrolysis of the amide function with aqueous HCl, gave 2-amino-3-(4-methylpiperazin-1-yl)-1-propanol (II) as the HCl salt. The latter was N-methocarbonylated with tert-Bu isothiocyanate, and cyclized to a thiazoline in aqueous HCl, to give the parent compound III as the trihydrochloride. I were tested against rat or mouse NOS-2, and recombinant bovine NOS-3. The IC₅₀ values < 10 μM against NOS-2 with a selectivity (IC₅₀ NOS-3/NOS-2) > 45. The toxicities of I are weak, with LD₅₀ > 40 mg/kg s.c. in mice.

IT 522615-02-7P: 4-[4-(4-Methylpiperazin-1-yl)methyl]-4,5-dihydrothiazol-2-ylamine trihydrochloride 522615-09-3P,

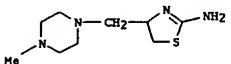
4-(Piperazin-1-ylmethyl)-4,5-dihydrothiazol-2-ylamine 522615-10-7P

, 4-(4-Methylpiperazin-1-yl)methyl]-4,5-dihydrothiazol-2-ylamine

RL: ADV (Adverse effects including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); TDU (Therapeutic use); BIOL (Biological study); PREP (Preparation); US55 (Uses); (drug candidate); preparation of amino(azinylmethyl)thiazoline derivs. as NOS-2 inhibitors for treatment of Parkinson's disease)

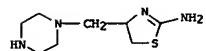
RN 522615-02-7 CAPLUS

CN 2-Thiazolamine, 4,5-dihydro-4-[(4-methyl-1-piperazinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

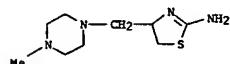


●3 HCl

RN 522615-08-3 CAPLUS



RN 522615-10-7 CAPLUS
 CN 2-Thiazolamine, 4,5-dihydro-4-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 1-7

DOCUMENT NUMBER: 138:368896

TITLE: Preparation of 4-(azinylmethyl)-substituted 2-aminothiazoline derivatives as inhibitors of inducible NO-synthase and their use in the treatment of Parkinson's disease

INVENTOR(S):

Bigot, Antony; Carré, Jean-Christophe; Mignani, Serge

Avantis Pharma S.A., Fr.

PATENT ASSIGNEE(S):

PCT Int. Appl., 26 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

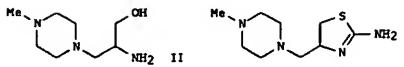
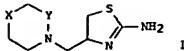
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040115	A1	20030515	WO 2002-FR3810	20021107
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CI, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TO				
FR 2832152	A1	20030516	FR 2001-14510	20021109
BR 2002006354	A	20031223	BR 2002-6354	20021107
EP 1446393	A1	20040818	EP 2002-796839	20021107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003166646	A1	20030904	US 2002-291084	20021108
US 6599867	B2	20040302		
NO 2003003129	A	20030827	NO 2003-3129	20030708
US 2004157843	A1	20040812	US 2004-764053	20040126
			FR 2001-14510	20021109
			US 2002-352797P	P 20020130
			WO 2002-FR3810	W 20021107
			US 2002-291084	A1 20021108

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 138:36886

GI



III

AB The invention concerns the use of 2-aminothiazoline derivs. I or their pharmaceutically acceptable salts as inhibitors of inducible NO-synthase, i.e., NOS-2 (wherein: (a) Y = CH₂ and X = O, NH, N-alkyl, N=CH₂Ph, N-Ph, N-(2-pyridyl), N-(3-pyridyl), N-(4-pyridyl), N-(2-pyrimidyl), N-(5-pyrimidyl), S, SO, SO₂, CH₂, or CPh; or (b) Y = CO and X = NH, N-Ph, N-(2-pyridyl), N-(3-pyridyl), N-(4-pyridyl), N-(2-pyrimidyl), N-(5-pyrimidyl)). A 5-step preparation of one example is given, plus 3 standard

formulations. Thus, addition reaction of N-methylpiperazine with Me 2-acetamidoacrylate, reduction of the obtained ester to an alc., and hydrolysis of the amide function with aqueous HCl, gave 2-amino-3-(4-methylpiperazin-1-yl)-1-propanol (II) as the HCl salt. The latter was N-thiocarbamoylated with tert-Bu isothiocyanate, and cyclized to a thiazoline in aqueous HCl, to give invention compound III as the trihydrochloride. I were tested against rat or mouse NOS-2, and recombinant bovine NOS-3. I had IC₅₀ values < 10 μ M against NOS-2, with a selectivity (IC₅₀ NOS-3/NOS-2) > 45. The toxicities of I are weak, with LD₅₀ > 40 mg/kg s.c. in mice.

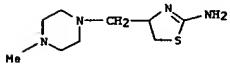
IT 522615-02-7P, 4-[4-(4-Methylpiperazin-1-yl)methyl]-4,5-dihydrothiazol-2-ylamine trihydrochloride 522615-09-7P,

4-(Piperazin-1-ylmethyl)-4,5-dihydrothiazol-2-ylamine 522615-10-7P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); DRUG (Drug candidate); preparation of amino[azinylmethyl]thiazoline derivs. as NOS-2 inhibitors for treatment of Parkinson's disease).

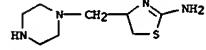
RN 522615-02-7 CAPLUS

CN 2-Thiazolamine, 4,5-dihydro-4-[4-(4-methyl-1-piperazinyl)methyl]-trihydrochloride (9CI) (CA INDEX NAME)



•3 HCl

RN 522615-08-3 CAPLUS

RN 522615-10-7 CAPLUS
CN 2-Thiazolamine, 4,5-dihydro-4-[4-(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TITLE: Preparation of piperazines for treating or preventing tachykinin-mediated diseases

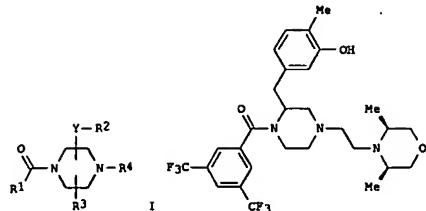
INVENTOR(S): Take, Kazuhiko; Konishi, Nobukiyo; Shigenaga, Shinji; Kayakiri, Natsuko; Azami, Hidenori; Eikyu, Yoshiteru; Nakao, Kazuo; Ishida, Junya; Morita, Masataka

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 245 pp.DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035915	A1	20000622	WO 1999-JP6943	19991210
W: AZ, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MY, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, ZV, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2354875	AA	20000622	CA 1999-2354875	19991210
EP 1140924	A1	20011010	EP 1999-959751	19991210
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TR 2001101649	T2	20011022	TR 2001-200101649	19991210
BR 9917047	A	20020730	BR 1999-17047	19991210
JP 2002532499	T2	20021002	JP 2000-588175	19991210
JP 3454427	B2	20031006		
JP 2003238563	A2	20030827	JP 2003-23481	19991210
AU 768652	B2	20031218	AU 2000-16837	19991210
TW 509688	B	20021111	TW 1999-88121878	19991210
ZA 2001004597	A	20020905	ZA 2001-4597	20010605
PRIORITY APPLN. INFO.:				

OTHER SOURCE(S): MARPAT 133:58814

GI



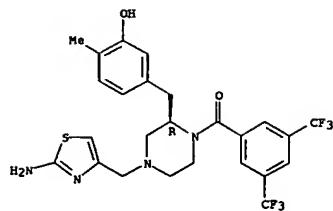
AB The title compds. [I; Y = bond, alkylene; R1 = (un)substituted aryl; R2 = (un)substituted aryl; R3 = H, alkyl; R4 = (3-pyridyl)alkyl, (3-pyridyl)alkenyl, thiazolylalkyl, etc.] and their pharmaceutically acceptable salts, useful for treating or preventing tachykinin-mediated diseases in human being or animals, were prepared. E.g., the piperazine *cis*-II·HCl showed more than 80% inhibition of 125I-BH-Substance P binding to h-NK1 receptors at 1 mg/kg, and 100% inhibition of emesis in the dog at 0.32 mg/kg.

IT 276859-41-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazines for treating or preventing tachykinin-mediated diseases)

RN 276859-41-7 CAPLUS

CN Piperazine, 4-[(2-amino-4-thiazolyl)methyl]-1-[3,5-bis(trifluoromethyl)benzoyl]-2-(3-hydroxy-4-methylphenyl)methyl-, dihydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



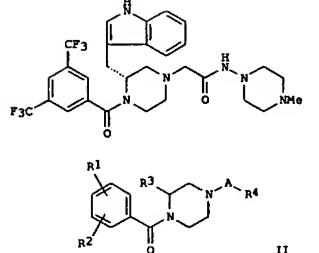
● 2 HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997-80507 CAPLUS
DOCUMENT NUMBER: 126104103
TITLE: 1-Benzoyl-2-(3-indolylalkyl)piperazine derivatives as neurokinin receptor antagonists
INVENTOR(S): Matsuda, Masaki; Hagiwara, Daisuke; Manabe, Takashi; Konishi, Nobukyo; Shigenaga, Shinji; Murano, Kenji; Matsuda, Hiroshi; Miyake, Hiroshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9637489	A1	19961128	WO 1996-JP1335	19960521
W: AU, CA, CN, HU, JP, KR, MX, NZ, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, DE, DK, ES, PL, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2222041	AA	19961128	CA 1996-2222041	19960521
AU 9657031	A1	19961211	AU 1996-57031	19960521
AU 706021	B2	19990603		
EP 846116	A1	19980610	EP 1996-915200	19960521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1191533	A	19980826	CN 1996-195744	19960521
CN 1072220	B	20011003		
JP 11505830	T2	19990525	JP 1996-535553	19960521
JP 3071829	B2	20000731		
ZA 9604101	A	19960729	ZA 1996-4101	19960522
IL 118369	A1	20000601	IL 1996-118369	19960522
TW 391960	B	20000601	TW 1996-85106105	19960523
US 5883098	A	19990316	US 1997-884039	19970627
PRIORITY APPLN. INFO.:				
US 1995-450176	A		US 19950525	
GB 1993-24479			GB 19931129	
GB 1994-2010	A		GB 19940202	
GB 1994-12708	A		GB 19940624	
US 1994-348176	A2		US 19941128	
WO 1996-JP1335	V		WO 19960521	

OTHER SOURCE(S): MARPAT 126:104103
GI



AB The invention relates to the compound I, compds. II, their salts, a process for their preparation, pharmaceuticals comprising them, and their use as medicaments (wherein R1 = trihaloalkyl; R2 = trihaloalkyl; R3 = indolylalkyl; A = CH2 or COCH2; R4 = (un)substituted arylthiazolyl, aminopyridinyl or 1,2,4-thiadiazolyl). I and II exhibit tachykinin antagonism, especially antagonism of substance P, and neurokinins A and B.

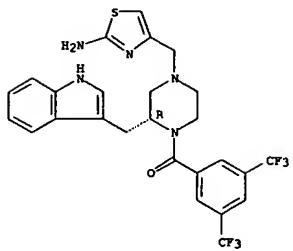
For example, (2R)-1-[3,5-bis(trifluoromethyl)benzoyl]-2-(1H-indol-3-ylmethyl)piperazine (prepared in 6 steps) underwent a sequence of (1) N-alkylation with BrCH2CO2CH2Ph, (2) hydrogenolysis of the ester to the acid, (3) amidation using EDC and HOBr, and (4) salification with fumaric acid, to give title compound I as the fumarate salt (III). In a test for inhibition of 125I-BH-substance P binding to h-NK1 receptors, III gave >90% inhibition at 0.1 µg/mL.

IT RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzoyl(indolylalkyl)piperazine derivs. as neurokinin receptor antagonists)

RN 185750-01-0 CAPLUS

CN Piperazine, 4-[(2-amino-4-thiazolyl)methyl]-1-[3,5-bis(trifluoromethyl)benzoyl]-2-(1H-indol-3-ylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

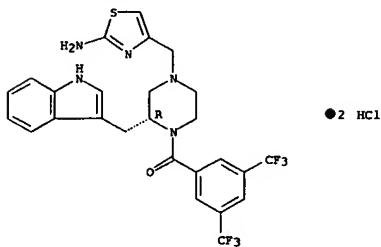


IT 185750-02-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoyl(indolylalkyl)piperazine derivs. as neurokinin receptor antagonists)

RN 185750-02-1 CAPLUS

CN Piperazine, 4-[(2-amino-4-thiazolyl)methyl]-1-[3,5-bis(trifluoromethyl)benzoyl]-2-(1H-indol-3-ylmethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



LS ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
AB The title compds. [I], R1 = halogen, alkyl, haloalkyl, NO2, (un)substituted -NH2; R2 = (un)substituted aryl, (un)substituted heteroaryl; R3 = H, alkyl; R4 = C(=NH)CH2R6; R6 = (un)substituted aryl; X = CO, sulfonyl; Y = bond, lower alkylene; n = 0-2] (e.g., II), useful as tachykinin and substance P antagonists, are prepared

IT 169462-64-0P

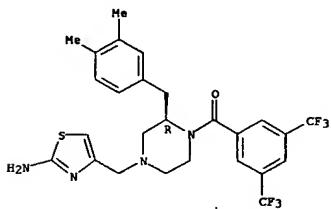
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazine-derivative tachykinin antagonists)

RN 169462-64-0 CAPLUS

CN Piperazine, 4-[(2-amino-4-thiazolyl)methyl]-1-[3,5-bis(trifluoromethyl)benzoyl]-2-[(3,4-dimethylphenyl)methyl]-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

ACCESSION NUMBER: 1995-084027 CAPLUS

DOCUMENT NUMBER: 123:286083

TITLE: Preparation of piperazine-derivative tachykinin antagonists

INVENTOR(S): Matsuo, Masaaki; Hagiyara, Daijiro; Manabe, Takashi; Nobukyo, Konishi; Shigenaga, Shinji; Murano, Kenji; Matsuda, Hiroshi; Miyake, Hiroshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 114 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

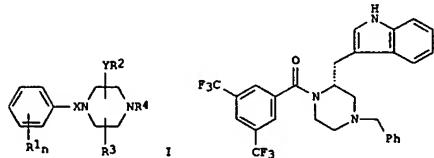
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 655442	A1	19950531	EP 1994-118542	19941125
EP 655442	A2	20010523		
R: AT, BE, CH, DE, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9409228	A	19950801	ZA 1994-9228	19941121
IL 111730	A1	199801206	IL 1994-111730	19941122
CA 2136712	A1	19950530	CA 1994-2136712	19941125
AU 9479111	A1	19950608	AU 1994-79111	19941125
AU 689504	B2	19980402		
ES 2156588	T3	20010701	ES 1994-118542	19941125
PT 655442	T	20010928	PT 1994-118542	19941125
TV 384287	B	20000311	TV 1994-83111021	19941126
CN 1107149	A	19950823	CN 1994-117822	19941128
CN 1041923	B	19990203		
JP 07242641	A2	19950919	JP 1994-293388	19941128
JP 3129123	B2	20010129		
HU 71348	A2	19951128	HU 1994-3414	19941128
US 5670505	A	19970923	US 1994-348176	19941128
BR 5600539	A	19951031	BR 1995-539	19950202
US 5883098	A	19990316	US 1997-884039	19970627
GR 3035923	T3	20010831	GR 2001-400128	20010524
PRIORITY APPLN. INFO.:				
GB 1993-24479	A	19931129		
GB 1994-2010	A	19940202		
GB 1994-12708	A	19940624		
US 1994-348176	A2	19941128		
US 1995-450176	B1	19950525		

OTHER SOURCE(S): MARPAT 123:286083

GI



ACCESSION NUMBER: 1989-212856 CAPLUS

DOCUMENT NUMBER: 110:212856

TITLE: Preparation of thiazoles as cerebral metabolism ameliorators

INVENTOR(S): Hisada, Koichi; Iwano, Yuji; Fujimoto, Katsumi; Matsui, Yoshiaki

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.

CODEN: JPOKAF

DOCUMENT TYPE:

Patent

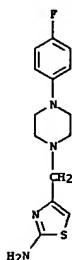
LANGUAGE:

Japanese

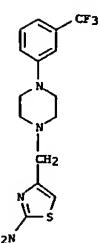
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

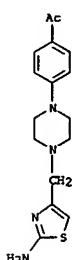
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63243079	A2	19881007	JP 1987-75625	19870327
PRIORITY APPLN. INFO.:			JP 1987-75625	19870327
OTHER SOURCE(S): MARPAT 110:212856				
GI For diagram(s), see printed-Cat focus.				
AB Title compds. I (ring A = 4H-containing 5-7-membered heterocycle which may be fused with one or no aryl or 5-7-membered cycloalkyl and in which C's may be substituted with alkyl, aryl, or oxo; R = H, aryl, aralkyl, heteroaryl, heterocycloalkyl, (aryl-fused)cycloalkyl (the ring in the above groups may be substituted); Z = bond, (halo-substituted) alkylene; R1 = amino, hydrazine, guanidino, isothiourea, thioureido (the above groups may be substituted) are prepared. A mixture of 1-(3-trifluoromethylphenyl)piperazine, HCl, 4-chloromethyl-2-guanidino-1,3-thiazole, HCl, and Et3N in THF-DMF was heated at 80° to give thiazole II which was converted to its HCl salt. II.HCl at 10 mg/kg improved life span of mice by 61% under a low O condition (96% N and 4% O).				
IT 120652-89-3P 120652-90-6P 120652-91-7P				
120652-92-8P 120652-93-9P 120652-94-0P				
120652-95-1P 120652-96-2P 120653-03-4P				
120653-04-5P 120653-05-6P 120653-09-0P				
120653-24-9P				
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as cerebral metabolism ameliorator)				
RN 120652-89-3 CAPLUS				
CN 2-Thiazolamine, 4-[(4-(4-fluorophenyl)-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)				



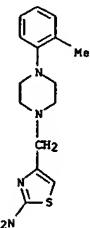
RN 120652-90-6 CAPLUS
CN Ethanone, 1-[4-[4-[(2-amino-4-thiazolyl)methyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



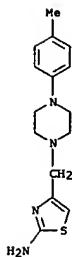
RN 120652-92-8 CAPLUS
CN 2-Thiazolamine, 4-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



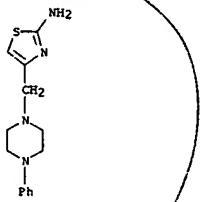
RN 120652-91-7 CAPLUS
CN 2-Thiazolamine, 4-[[4-[(3-(trifluoromethyl)phenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



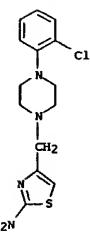
RN 120652-93-9 CAPLUS
CN 2-Thiazolamine, 4-[[4-(4-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



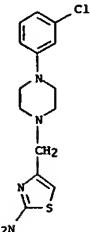
RN 120652-94-0 CAPLUS
CN 2-Thiazolamine, 4-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



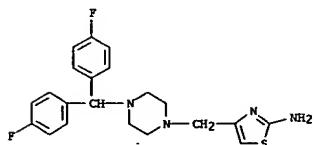
RN 120652-95-1 CAPLUS
CN 2-Thiazolamine, 4-[[4-(2-chlorophenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



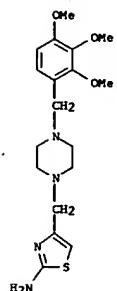
RN 120652-96-2 CAPLUS
CN 2-Thiazolamine, 4-[[4-(3-chlorophenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



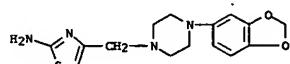
RN 120653-03-4 CAPLUS
CN 2-Thiazolamine, 4-[[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



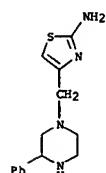
LS ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 120653-04-5 CAPLUS
CN 2-Thiazolamine, 4-[{[4-((2,3,4-trimethoxyphenyl)methyl)-1-piperazinyl]methyl}- (9CI) (CA INDEX NAME)



RN 120653-05-6 CAPLUS
CN 2-Thiazolamine, 4-[{[4-(1,3-benzodioxol-5-yl)-1-piperazinyl]methyl}- (9CI) (CA INDEX NAME)

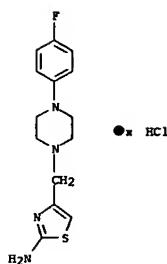


RN 120653-09-0 CAPLUS
CN 2-Thiazolamine, 4-[{(3-phenyl-1-piperazinyl)methyl}- (9CI) (CA INDEX NAME)



RN 120653-24-9 CAPLUS
CN 2-Thiazolamine, 4-[{[4-(4-fluorophenyl)-1-piperazinyl]methyl}-, hydrochloride (9CI) (CA INDEX NAME)

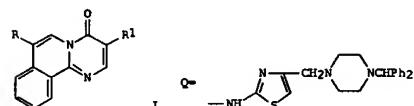
LS ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



LS ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
ACCESSION NUMBER: 1985:113529 CAPLUS
DOCUMENT NUMBER: 102:113529
TITLE: Pyrimidoisoquinoline derivatives
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JJOCAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

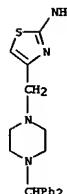
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59172490	A2	19840929	JP 1983-46547	19830318
PRIORITY APPLN. INFO.:			JP 1983-46547	19830318
OTHER SOURCE(S):	CASREACT	102:113529		

GI



AB Twelve title compds. [I, R = alkyl; R1 = COO, (carboxylalkoxy)carbonyl, etc.], useful as allergy inhibitors (data for inhibition of passive s.c. anaphylaxis shown), were prepared. Thus, 404 mg Et3N and 434 mg ClCO2Et were added to 1 g I (R = Me, R1 = CO2H) in CH2Cl2 with ice cooling, the mixture was stirred at 0° for 2.5 h, 1.46 g the aminothiazole HQ added, and the resulting mixture stirred at room temperature for 1 h to give 1.6 g I (R = Me, R1 = COO).
IT 79387-23-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, by pyrimidoisoquinolinecarboxylic acid derivative)
RN 79387-23-8 CAPLUS
CN 2-Thiazolamine, 4-[{[4-(diphenylmethyl)-1-piperazinyl]methyl}- (9CI) (CA INDEX NAME)

LS ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ACCESSION NUMBER: 1981-569217 CAPLUS

DOCUMENT NUMBER: 95:169217

TITLE: Thiazole derivatives and pharmaceutical composition comprising them

INVENTOR(S): Ueda, Ikuo; Morino, Daizou; Takimoto, Koichi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 64 pp.

CODEN: EPDOCW

DOCUMENT TYPE: Patent

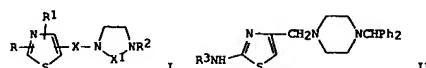
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 32058	A1	19810715	EP 1980-304740	19801229
EP 32059	B1	19831026		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4411900	A	19831025	US 1980-215372	19801211
CA 1154764	A1	19831004	CA 1980-367494	19801223
JP 56103168	A2	19810918	JP 1980-189341	19801229
JP 01014229	B4	19890310		
AT 5138	E	19831115	AT 1980-304740	19801229
PRIORITY APPLN. INFO.:			GB 1980-162	19800103
			EP 1980-304740	19801229

GI

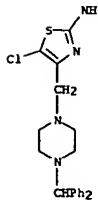


AB Aminoalkylthiazoles I (X = alkylene, thiaalkylene; X1 = Cl-3 alkylene; R = H, amino; R1 = H, halogen, alkyl, aryl; R2 = aralkyl, haloaralkyl) were prepared. 2-Acetamido-4-chloromethylthiazole was treated with 1-benzhydrylpiperazine to give II (R3 = Ac), which was deacetylated and mesylated to give II (R3 = MeSO2). At 1 mg/kg orally in guinea pigs II (R3 = MeSO2) gave 100% inhibition of anaphylactic asthma.

IT 79387-27-28
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

RN 79387-27-2 CAPLUS

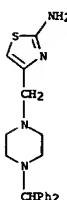
CN 2-Thiazolamine, 5-chloro-4-[(4-(diphenylmethyl)-1-piperazinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

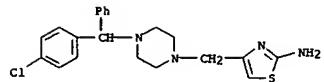
IT 79387-23-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and mesylation of)

RN 79387-23-8 CAPLUS
CN 2-Thiazolamine, 4-[(4-(diphenylmethyl)-1-piperazinyl)methyl] (9CI) (CA INDEX NAME)



IT 79387-24-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 79387-24-9 CAPLUS
CN 2-Thiazolamine, 4-[(4-(4-chlorophenyl)phenylmethyl)-1-piperazinyl)methyl] (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	48.89	205.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.30	-6.30

STN INTERNATIONAL LOGOFF AT 19:58:35 ON 14 NOV 2004

11/14/04

10/764,853

FILE 'REGISTRY' ENTERED AT 20:00:50 ON 14 NOV 2004
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DICTIONARY FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

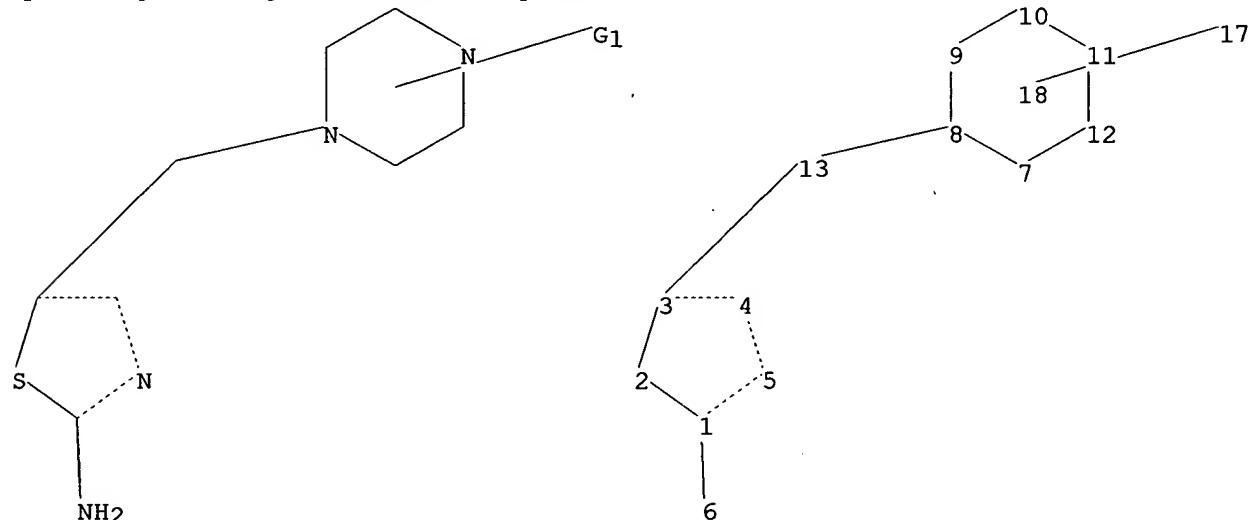
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

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Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10764853b.str



chain nodes :
6 13 17
ring nodes :
1 2 3 4 5 7 8 9 10 11 12
chain bonds :
1-6 3-13 8-13
ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-5 1-6 3-4 4-5 7-8 7-12 8-9 8-13 9-10 10-11 11-12
exact bonds :
1-2 2-3 3-13
isolated ring systems :
containing 1 : 7 :

G1:CH3,Et,H

Connectivity :

13:2 X maximum C chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 17:CLASS 18:CLASS

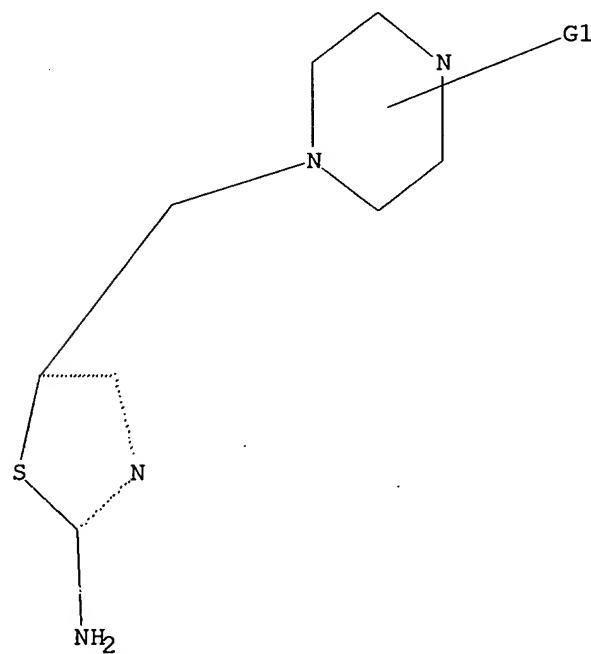
L1 STRUCTURE UPLOADED

=> s L1 sss full
FULL SEARCH INITIATED 20:01:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 519 TO ITERATE

100.0% PROCESSED 519 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> d
L2 HAS NO ANSWERS
L1 STR



G1 Me,Et,H

Structure attributes must be viewed using STN Express query preparation.
L2 0 SEA FILE=REGISTRY SSS FUL L1

=> s L1
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SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 0 ANSWERS

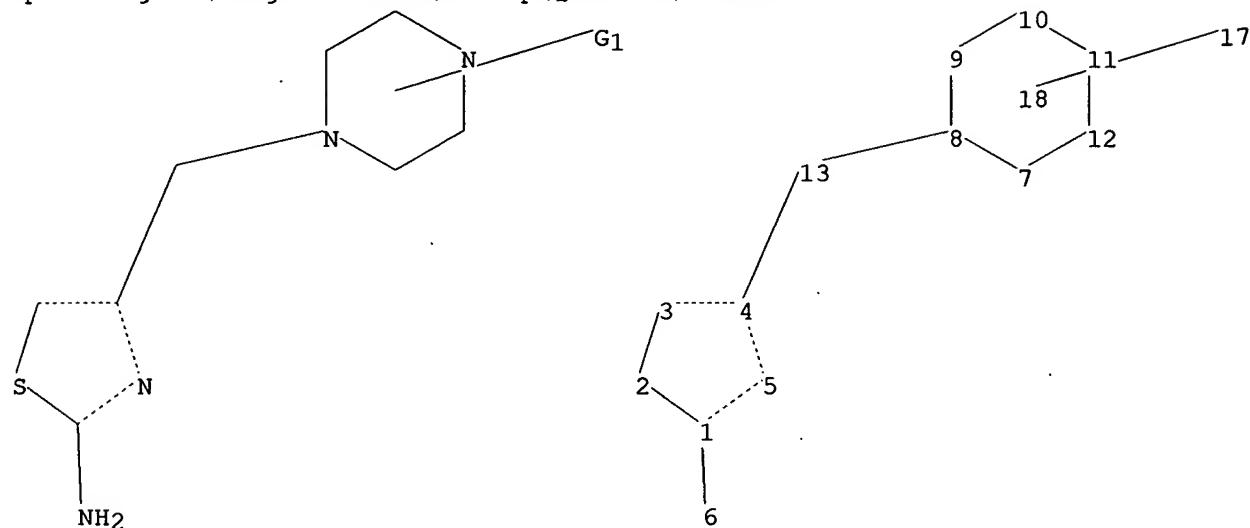
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 0 TO 0

L3 0 SEA SSS SAM L1

=>

Uploading C:\Program Files\Stnexp\Queries\10764853a.str



chain nodes :

6 13 17

ring nodes :

1 2 3 4 5 7 8 9 10 11 12

chain bonds :

1-6 4-13 8-13

ring bonds :

1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

1-5 1-6 3-4 4-5 7-8 7-12 8-9 8-13 9-10 10-11 11-12

exact bonds :

1-2 2-3 4-13

isolated ring systems :

containing 1 : 7 :

G1:CH3,Et,H

Connectivity :

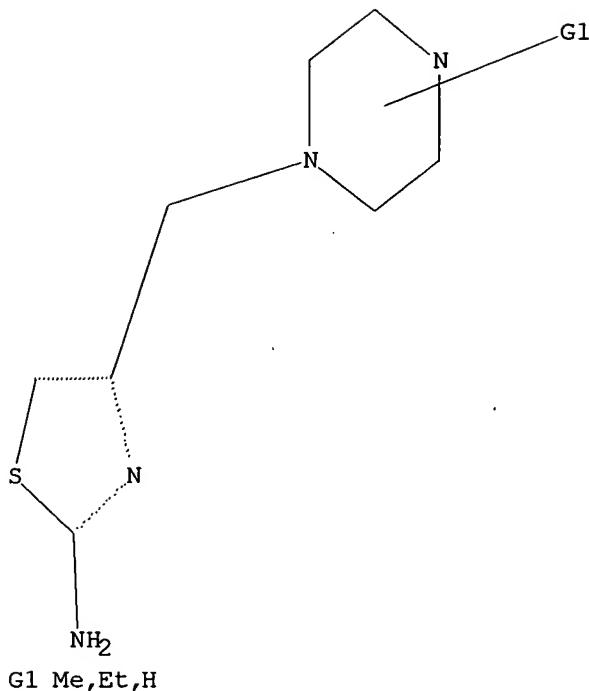
13:2 X maximum C chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 17:CLASS 18:CLASS

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L4 sss full
FULL SEARCH INITIATED 20:03:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2717 TO ITERATE

100.0% PROCESSED 2717 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.01

L5 26 SEA SSS FUL L4

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 312.10 312.31

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FILE COVERS 1907 - 14 Nov 2004 VOL 141 ISS 21
FILE LAST UPDATED: 12 Nov 2004 (20041112/ED)

This file contains CÁs Registry Numbers for easy and accurate substance identification.

=> s L5
L6 7 L5

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STRUCTURE FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2
DICTIONARY FILE UPDATES: 12 NOV 2004 HIGHEST RN 780001-49-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> s L5
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SAMPLE SCREEN SEARCH COMPLETED - 123 TO ITERATE

100.0% PROCESSED 123 ITERATIONS 0 ANSWERS
SEARCH TIME: 00:00:01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
PROJECTED ITERATIONS: 1795 TO 3125
PROJECTED ANSWERS: 0 TO 0

L7 Q SEA SSS SAM L4

=> d L5 ti,ab,so 1-26
'TI' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
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=> s (thiazol? and piperazin?) and (parkinson? or antiparkinson?)
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58 FILE CAPLUS
27 FILES SEARCHED...
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1 FILE BIOSIS
1 FILE DDFB
4 FILE DDFU
57 FILES SEARCHED...
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 11 Nov 2004 (20041111/PD)

FILE LAST UPDATED: 11 Nov 2004 (20041111/ED)

HIGHEST GRANTED PATENT NUMBER: US6817028

HIGHEST APPLICATION PUBLICATION NUMBER: US2004226068

CA INDEXING IS CURRENT THROUGH 11 Nov 2004 (20041111/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 11 Nov 2004 (20041111/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2004

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=> s L5

L9 5 L5

=> d L9 ti 1-5

L9 ANSWER 1 OF 5 USPATFULL on STN
 AN 2004:203951 USPATFULL
 TI Use of 2-amino-thiazoline derivatives as inhibitors of inducible
 NO-synthase
 IN Bigot, Antony, Massy, FRANCE
 Carré, Jean-Christophe, Saint Maur Des Fosses, FRANCE
 Mignani, Serge, Chatenay-Malabry, FRANCE
 PA Aventis Pharma S.A., Antony Cedex, FRANCE (non-U.S. corporation)
 PI US 2004157843 AI 20040126 (10)
 AI US 2004-764853 AI 20040126 (10)
 RLI Continuation of Ser. No. US 2002-291084, filed on 8 Nov 2002, GRANTED,
 Pat. No. US 6699867
 PRAI FR 2001-14510 20011109
 US 2002-352797P 20020130 (60)
 DT Utility
 FS APPLICATION
 LREP ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE 202-206, MAIL CODE:
 D303A, BRIDGEWATER, NJ, 08807
 CINN Number of Claims: 8
 ECL Exemplary Claim: 1
 DRWY No Drawings
 AB The present invention relates to the use of 2-amino-thiazoline
 derivatives of formula (I): ##STR1##

in which either Y is a methylene (CH₂.sub.2) and X is chosen from the following groups: O, NH, (C1-C4) N-Alkyl, N-Bn, N-Ph, N-(2-Py), N-(3-Py), N-(4-Py), N-2-pyrimidyl, N-5-pyrimidyl, S, SO, SO₂, CH₂.sub.2 or CHPh; or Y is a carbonyl (C.dbd.O) and X is chosen from the following groups: NH, N-Ph, N-(2-Py), N-(3-Py), N-(4-Py), N-2-pyrimidyl or N-5-pyrimidyl or pharmaceutically acceptable salts thereof as inhibitors of inducible NO-synthase.

PARN [0001] This application is a Continuation of U.S. application Ser. No. 10/291,084, filed Nov. 8, 2002, which claimed the benefit of U.S. Provisional Application No. 60/352,797, filed Jan. 30, 2002, and which claimed the benefit of priority of French Patent Application No. 01/14,510, filed Nov. 9, 2001.

SUMM [0002] The present invention relates to the use of 2-amino-thiazoline derivatives of formula (I): ##STR2##

[0003] or pharmaceutically acceptable salts thereof as inhibitors of inducible NO-synthase.

[0004] The subject of the invention is the use of 2-amino-thiazoline derivatives of formula (I) and pharmaceutically acceptable salts thereof for the preparation of pharmaceutical compositions intended for preventing and treating diseases in which an abnormal production of nitric oxide (NO) by induction of inducible NO-synthase (NOS-2 ou iNOS) is involved, the pharmaceutical compositions containing the novel 2-amino-thiazoline derivatives and pharmaceutically acceptable salts thereof and the novel derivatives of 2-amino-thiazoline and pharmaceutically acceptable salts thereof.

[0005] Nitric oxide (NO) is a diffusible radical involved in many physiological and pathological processes. It is synthesized by oxidation of L-Arginine, a reaction catalyzed by a family of enzymes known as nitric oxide synthases or NO-Synthase (NOS), referenced in the international enzyme nomenclature under the number E.C. 1.14.13.39.

[0006] Three NOS isoforms, two of which are constitutive and one

L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)
 inducible, are known:

[0007] a neuronal NOS(NOS-1 or nNOS) was originally isolated and cloned from nerve tissue in which it is a constitutive enzyme. The NOS-1 produces NO in response to various physiological stimuli such as the activation of membrane receptors according to a mechanism dependent on calcium and on calmodulin.

[0008] an inducible NOS(NOS-2 or iNOS) can be induced in response to immunological stimuli such as, for example, cytokines or bacterial antigens in various cells such as, for example, macrophages, endothelial cells, hepatocytes, glial cells, as well as many other types of cells. This isoform activity is not regulated by calcium. Consequently, once induced, it produces a large amount of NO over prolonged periods.

[0009] an endothelial NOS(NOS-3 or eNOS) is constitutive and calcium/calmodulin dependent. It was originally identified in vascular endothelial cells, in which it generates NO in response to physiological stimuli such as the activation of membrane receptors.

[0010] The NO produced by the neuronal and endothelial constitutive isoforms (NOS-1 and NOS-3) is generally involved in intercellular signalling functions. For example, the endothelial cells which line the inner wall of blood vessels induce the relaxation of the underlying smooth muscular cells via the production de NO. It thus contributes towards regulating the arterial pressure.

[0011] The NO produced in large amount by the inducible isoform NOS-2 is, inter alia, involved in the pathological phenomena associated with acute and chronic inflammatory processes in a large variety of tissues and organs.

[0012] An excessive production of NO by induction of NOS-2 thus plays a part in degenerative pathologies of the nervous system such as, for example, multiple sclerosis, focal or global cerebral ischemia, cerebral or spinal trauma, Parkinson's disease, Huntington's disease, Alzheimer's disease, amyotrophic lateral sclerosis, migraine, depression, schizophrenia, anxiety, epilepsy. Similarly, aside the central nervous system, the induction of NOS-2 is involved in many pathologies with inflammatory components such as, for example, diabetes, atherosclerosis, myocarditis, arthritis, arthrosis, asthma, inflammatory bowel disease, Crohn's disease, peritonitis, gastroesophageal reflux, uveitis, Guillain-Barre syndrome, glomerulo-nephritis, lupus erythematosus and psoriasis. The NOS-2 was also involved in the growth of certain forms of tumors such as, for example, epitheliomas, adenocarcinomas or sarcomas, and in infections with Gram-positive or Gram-negative intracellular or extracellular bacteria.

[0013] In all the situations in which an overproduction of NO is deleterious, it thus appears to be desirable to reduce the production of NO by administering substances capable of inhibiting the NOS-2. However, given the important physiological roles played by the constitutive isoform NOS-3, in particular in regulating the arterial pressure, it is essential that the inhibition of the isoform NOS-2 has the least possible effect on the isoform NOS-3. Actually, it is known that the administration of unselective inhibitors of NOS isoforms leads to vasoconstriction and an increase in arterial pressure (Moncada, S., Palmer, R. M. J. and Higgs, E. A., Biosynthesis of nitric oxide from L-arginine: a pathway for the regulation of cell function and communication, Biochem. Pharmacol., 1989, 38: 1709-1715). These effects

L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)
 on the cardiovascular system are deleterious since they reduce the supply of nutrients to the tissues. Consequently, the present invention relates to compounds whose inhibitory activity with respect to NOS-2 is significantly higher than their inhibitory activity with respect to NOS-3.

[0014] Thiazoline-based NOS inhibitors are described in particular in patent applications WO94/12165, WO95/11231 and WO96/14842.

[0015] The present invention relates to the use of 2-amino-thiazoline derivatives of formula (I) in which:

[0016] either Y is a methylene (CH₂.sub.2) and X is chosen from the following groups: O, NH, N-(C₂-C₄.sub.1-C₂.sub.4)alkyl, N-Bn, N-Ph, N-(2-Py), N-(3-Py), N-(4-Py), N-2-pyrimidyl, N-5-pyrimidyl, S, SO, SO₂, CH₂.sub.2 or CHPh;

[0017] or Y is a carbonyl (C.dbd.O) and X is chosen from the following groups: NH, N-Ph, N-(2-Py), N-(3-Py), N-(4-Py), N-2-pyrimidyl, N-5-pyrimidyl for the preparation of medicinal products for preventing and treating diseases in which an abnormal production of nitric oxide (NO) by induction of inducible NO-synthase (NOS-2 or iNOS) is involved.

[0018] In the above definitions and in those which follow, the alkyl radicals contain 1 to 4 carbon atoms in a straight or branched chain. The abbreviations Bn, Py, Ph mean respectively benzyl, pyridyl, phenyl.

[0019] The compounds of formula (I) contain one or more asymmetric carbons and can thus be in racemic form or in the form of enantiomers and diastereoisomers; these also form a part of the invention as well as the mixtures thereof.

[0020] Moreover, the compounds of formula (I) can be in the tautomeric form (Ia): ##STR3##

[0021] These tautomers also form a part of the invention.

[0022] Among the compounds of formula (I) useful according to the invention, mention may be made of the following compounds:

[0023] 4-(morpholin-4-ylmethyl)-4,5-dihydro-1,3-thiazol-2-ylamine,

[0024] 4-(piperazin-1-ylmethyl)-4,5-dihydro-1,3-thiazol-2-ylamine,

[0025] 4-(4-methyl-piperazin-1-ylmethyl)-4,5-dihydro-1,3-thiazol-2-ylamine,

[0026] the racemic mixtures, enantiomers, diastereoisomers, tautomers thereof, as well as the pharmaceutically acceptable salts thereof.

[0027] Among the compounds useful according to the invention and particularly preferred, mention may be made of the following compound:

[0028] 4-(4-methyl-piperazin-1-ylmethyl)-4,5-dihydro-1,3-thiazol-2-ylamine,

[0029] the racemic mixtures, enantiomers, tautomers thereof, as well as the pharmaceutically acceptable salts thereof.

[0030] The invention also relates to the pharmaceutical compositions

L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)
 containing, as active principle, a derivative of formula (I) for which either Y is a methylene (CH₂.sub.2) and X is chosen from the following groups: O, NH, N-(C₂-C₄.sub.1-C₂.sub.4)alkyl, N-Bn, N-Ph, N-(2-Py), N-(3-Py), N-(4-Py), N-2-pyrimidyl, N-5-pyrimidyl, S, SO, SO₂, CH₂.sub.2 or CHPh; or Y is a carbonyl (C.dbd.O) and X is chosen from the following groups: NH, N-Ph, N-(2-Py), N-(3-Py), N-(4-Py), N-2-pyrimidyl, N-5-pyrimidyl as well as the racemic mixtures, enantiomers, diastereoisomers, tautomer thereof, and pharmaceutically acceptable salts thereof.

[0031] The compounds of formula (I) can be prepared by cyclization of a derivative of formula (II): ##STR4##

[0032] in which X and Y have the same meaning as in formula (I).

[0033] This cyclization is generally carried out using an acid such as hydrochloric acid, in aqueous medium, at a temperature of about 100° C. GN hydrochloric acid is generally used.

[0034] The derivatives of formula (II) can be obtained according to the following reaction schemes: ##STR5##

[0035] in these formulas X and Y have the same meanings as in formula (I), Ra is a protecting group of the amine function such as those described by T. W. GREENE, Protective groups in Organic Synthesis, J. Wiley-Interscience Publication (1991), preferably an acetyl or tert-butoxycarbonyl radical, and Ab is a (C₂-C₄.sub.1-C₂.sub.4)alkyl or alkoxy carbonyl radical, preferably methyl, ethyl or isobutyl oxycarbonyl.

[0036] The reaction a is generally carried out in the presence of a Lewis acid such as the iron trichloride (III), in an inert solvent such as dichloromethane or acetonitrile, at a temperature of between 10° C. and the boiling point of the reaction medium. When X represents NH, X can be protected by a protecting group of the amine function such as described by T. W. GREENE, Protective Groups in Organic Synthesis, J. Wiley-Interscience Publication (1991), preferably using a tert-butoxycarbonyl radical.

[0037] The reduction reaction b is preferably carried out using a hydride such as sodium borohydride or lithium aluminum hydride in a (C₂-C₄.sub.1-C₂.sub.4) aliphatic alcohol or tetrahydrofuran, at a temperature of between 0° C. and 30° C.

[0038] The deprotection reaction c for the compounds in which Ra is a protecting group of the amine function is carried out by any deprotection method known to those skilled in the art and in particular those described by T. W. GREENE, Protective Groups in Organic Synthesis, J. Wiley-Interscience Publication (1991). Preferably when the protecting group is an acetyl radical, this reaction is carried out using aqueous hydrochloric acid at a temperature of about 100° C. When the protecting group is a tert-butoxycarbonyl radical, this reaction is carried out using hydrochloric acid in dioxane, at a temperature of about 20° C.

[0039] The reaction d is carried out by the action of tert-butyl isothiocyanate, in an inert solvent such as (C₂-C₄.sub.1-C₂.sub.4) aliphatic alcohol (preferably methanol or ethanol), optionally in the presence of a tertiary amine such as triethylamine, at a temperature between 20° C. and the boiling point of the reaction medium.

- L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)
- [0040] The compounds of formula (I) in which X represents either SO₃ or SO₂ can be obtained by direct oxidation of the compound of formula (I) in which X represents S. This oxidation is carried out according to the known methods of oxidation of organosulfur compounds, such as described by M. HUDLICKY, Oxidation in Organic Chemistry, ACS Monograph, 186, 252-263 (1990). For example, it is carried out by the action of an organic peracid or organic peracid salt (percarboxylic or persulfonic acid, in particular perbenzoic acid, 3-chloroperbenzoic acid, 4-nitroperbenzoic acid, peracetic acid, pertrifluoroacetic acid, performic acid, monoperphthalic acid) or a mineral peracid or mineral peracide salt (for example, periodic or persulfuric acid), in an inert solvent such as a chlorinating solvent (for example, trichlorethane or dichloromethane), at a temperature of between 0° C. and 20° C. The hydrogen peroxide or periodate (sodium periodate, for example), in an inert solvent such as (C₂sub.1-C₂sub.4) aliphatic alcohol, water or a mixture of these solvents, at a temperature between 0° and 20° C. can also be used. These products can also be prepared from the corresponding compounds of formula (II), obtained according to the following reaction schemes: ##STR6#
- [0041] The oxidation reaction a is carried out according to the known methods of oxidation of organosulfur compounds as described above.
- [0042] The deprotection reaction b for the compounds in which Ra is a protecting group of the amine function is carried out by any method of deprotection known by those skilled in the art and particularly those described by T. W. GREENE, Protective Groups in Organic Synthesis, J. Wiley-Interscience Publication (1991). Preferably when the protecting group is an acyl radical, this reaction is carried out using aqueous hydrochloric acid, at a temperature of about 100° C. When the protecting group is a tert-butyloxycarbonyl radical, this reaction is carried out using an hydrochloric acid in dioxane, at a temperature of about 20° C.
- [0043] The reaction c is carried out by the action of tert-butyl isothiocyanate, in an inert solvent such as (C₂sub.1-C₂sub.4) aliphatic alcohol (preferably methanol or ethanol), optionally in the presence of a tertiary amine such as triethylamine, at a temperature of between 20° C. and the boiling point of the reaction medium.
- [0044] The compounds of formula (I) are isolated and can be purified by the usual known methods, for example crystallization, chromatography or extraction.
- [0045] The enantiomers of the compounds of formula (I) can be obtained by resolving the racemic mixtures, for example by chromatography on a chiral column according to PIRKLE W. H. et al., Asymmetric Synthesis, Vol. 1, Academic Press (1983) or by formation of salts or by synthesis from chiral precursors. The diastereoisomers can be prepared according to the known conventional methods (crystallization, chromatography or from chiral precursors).
- [0046] The compounds of formula (I) can optionally be converted to addition salts with a mineral or organic acid by the action of such an acid in an organic solvent such as an alcohol, a ketone, an ether or a chlorinated solvent. These salts also form a part of the invention.
- [0047] Examples of pharmaceutically acceptable salts which may be mentioned are the following salts: benzenesulfonate, hydrobromide, hydrochloride, citrate, ethanesulfonate, fumarate, gluconate, iodate, etc.
- L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)
- [0056] A suspension of 0.42 g of N-(tert-butyl)-N'-(2-hydroxy-1-(4-methyl-piperazin-1-ylmethyl)-ethyl)-thiourea in 3.9 ml of an aqueous 6N hydrochloric acid is heated at a temperature of about 100° C. for 5 hours. After cooling, the reaction medium is concentrated under reduced pressure (2 kPa) at a temperature of about 55° C. The residue obtained is dried in an oven under vacuum (2 kPa) for 4 hours. About 0.47 g of 4-(4-methyl-piperazin-1-ylmethyl)-4,5-dihydro-thiazol-2-ylamine trihydrochloride are obtained in the form of a very hygroscopic off-white paste. [¹H-NMR spectrum (300 MHz, (CD₃)₂SO) δ = 8 (ppm): from 2.55 to 2.90 (m, 4H, J=2.1, 5, 3H); from 2.95 to 3.30 (m, 4H); from 3.30 to 3.60 (m, 2H); 3.40 (dd, J=11.5 and 5.5 Hz, 1H); 3.69 (dd, J=11.5 and 7.5 Hz, 1H); 4.31 (at, 1H)].
- [0057] N-(tert-Butyl)-N'-(2-hydroxy-1-(4-methyl-piperazin-1-ylmethyl)-ethyl)-thiourea ##STR6#
- [0058] To a solution of 1 g of 2-amino-3-(4-methyl-piperazin-1-yl)-1-propanol hydrochloride in 20 ml of absolute ethanol and 1.43 ml of triethylamine, about 0.78 ml of tert-butylisothiocyanate are added. The reaction mixture is stirred under inert atmosphere at a temperature of about 20° C. for 42 hours then is heated at a temperature of about 50° C. for 1 hour 30 min. After cooling at a temperature of about 20° C., the reaction medium is evaporated under reduced pressure (2 kPa) at a temperature of about 30° C. The residue thus obtained is taken up in 10 ml of water and 40 ml of dichloromethane. The aqueous phase is extracted with 2 times 30 ml of dichloromethane. The organic phases are collected, washed with 15 ml of water, dried over magnesium sulfate, filtered, then concentrated under reduced pressure (2 kPa) at a temperature of about 20° C. About 0.42 g of N-(tert-butyl)-N'-(2-hydroxy-1-(4-methyl-piperazin-1-ylmethyl)-ethyl)-thiourea are obtained in the form of a white paste. [Infrared spectrum between lamella of KBr 3279; 3075; 2939; 2806; 1533; 1459; 1359; 1295; 1204; 1010 and 921 cm⁻¹].
- [0059] 2-Amino-3-(4-methyl-piperazin-1-yl)-1-propanol hydrochloride ##STR9#
- [0060] A suspension of 0.89 g of N-[2-hydroxy-1-(4-methyl-piperazin-1-ylmethyl)-ethyl] acetamide in 10.3 ml of an aqueous acid solution of 6N hydrochloric acid is heated at a temperature of about 100° C. for 3 hours. After cooling at a temperature of about 60° C., the reaction medium is filtered and the filtrate is concentrated under reduced pressure (2 kPa) at a temperature of about 60° C. About 1 g of 2-amino-3-(4-methyl-piperazin-1-yl)-1-propanol hydrochloride is obtained in the form of a tacky beige-colored paste. [Infrared spectrum (KBr) 3337; 2955; 2637; 2522; 1617; 1457; 1062; 1009 and 962 cm⁻¹].
- [0061] N-[2-Hydroxy-1-(4-methyl-piperazin-1-ylmethyl)-ethyl]acetamide ##STR10#
- [0062] A solution under inert atmosphere of 3.27 g of methyl (acetamino)-3-(4-methyl-piperazin-1-yl)propanoate in 100 ml of anhydrous methanol is cooled at a temperature of about 10° C., then 0.76 g of sodium borohydride are added using a spatula. The reaction medium is stirred for 5 hours at a temperature of about 20° C., then are added again 0.26 g of sodium borohydride and the stirring is carried out for 38 hours. Then, 5 ml of water is dropped into the reaction mass which is heated and concentrated under reduced pressure (2 kPa) at a temperature of about 30° C. The obtained
- L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)
- isethionate, maleate, methanesulfonate, methylenebis-β-oxyphthalimide, nitrate, oxalate, pamoate, phosphate, salicylate, succinate, sulfate, tartrate, theophyllinacetate and p-toluenesulfonate.
- [0048] The compounds of formula (I) are inhibitors of NO-synthase inducible or NO-synthase of type 2 (NOS-2) and are thus useful for preventing and treating disorders associated with an excessive NO production such as multiple sclerosis, focal or global cerebral ischemia, cerebral or spinal trauma, Parkinson's disease, Huntington's disease, Alzheimer's disease, amyotrophic lateral sclerosis, migraine, depression, schizophrenia, anxiety, epilepsy, diabetes, atherosclerosis, myocarditis, arthritis, arthrosis, asthma, inflammatory bowel disease, Crohn's disease, peritonitis, gastro-esophageal reflux, uveitis, Guillain-Barré syndrome, glomerulo-nephritis, lupus erythematosus and psoriasis, the growth of certain forms of tumors such as, for example epitheliomas, adenocarcinomas or sarcomas, and in infections with Gram-positive or Gram-negative intracellular or extracellular bacteria.
- [0049] Their activities as inhibitors of NOS-2 and NOS-3 were determined by measuring the conversion of [³H]-L-arginine into [³H]-L-citrulline with, respectively, a NOS-2 enzymatic fraction extracted from the lungs of rats or mice pretreated with lipopolysaccharides (10 mg/kg i.p. 6 hours before collecting the tissue) and with a commercial preparation of recombinant bovine NOS-3. The compounds were incubated for 20 to 30 minutes at 37° C. in the presence of 5 μM (for NOS-2 activity) or 10 μM (for NOS-3 activity) of [³H]-L-arginine, 1 mM of NADPH, 15 μM of tetrahydrobiopterin, 1 μM of FAD, 0.1 mM of UTT in a HEPES buffer (50 mM, pH 6.7) containing 10 μg/ml of calmodulin and 1.25 mM of CaCl₂ when the NOS-3 activity was measured. The incubation was stopped by adding cold HEPES buffer (100 mM, pH 5.5) containing 10 mM EGTA and 500 μM of cationic ion-exchange resin (AG50W-X8, counter-ion: Na⁺) to separate the [³H]-L-arginine from the [³H]-citrulline. After separation of the phases by settling for 5 min, the radioactivity remaining in the liquid phase was measured in a scintillation counter in the presence of a suitable scintillation liquid. The yield for the recovery of the formed L-[³H]-citrulline was able to be estimated using L-[ureido-¹⁴C]-citrulline as external standard.
- [0050] The NOS-2 or NOS-3 activity was expressed in picomole(s) of [³H]-L-citrulline formed per minute and per milligram of protein contained in the reaction medium.
- [0051] In this test on the enzyme NOS-2, the IC₅₀ value for the compounds of formula (I) is less than or equal to 10 μM.
- [0052] The selectivity is measured by the IC₅₀ NOS-3/IC₅₀ NOS-2 ratio. This selectivity is greater than 45.
- [0053] The compounds of formula (I) are of low toxicity. Their LD₅₀ is greater than 40 mg/kg via cutaneous route in mice.
- [0054] The following examples illustrate the invention.
- DET0 EXAMPLE 1
- [0055] 4-(4-Methyl-piperazin-1-ylmethyl)-4,5-dihydro-thiazol-2-ylamine trihydrochloride ##STR7##
- L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)
- residue is taken up with dichloromethane and the insoluble matter is removed by filtration. The filtrate is concentrated under reduced pressure (2 kPa) at a temperature of about 20° C. The residue is purified by chromatography under argon pressure (60 kPa), on a column of silica gel (particle size 40-63 μm; diameter 5 cm; height 15 cm), eluting with successive mixtures of 20% dichloromethane/methanol/aqueous ammonia (98/2/0, 95/5/0.1, 90/10/0.2, 80/20/0.25, 50/50/0.25 by volume). The fractions containing the expected product are combined and concentrated under reduced pressure (2 kPa) at a temperature of about 40° C. About 0.92 g of N-[2-hydroxy-1-(4-methyl-piperazin-1-ylmethyl)-ethyl] acetamide are obtained in the form of a yellow-colored liquid. [Infrared spectrum (CH₂Cl₂) 2040, 3621, 3429; 3352; 2944; 2803; 1657; 1513; 1460; 1284; 1050; 1011 and 816 cm⁻¹].
- [0063] 2-(Acetylamino)-3-(4-methyl-piperazin-1-yl)propanoate de methyle ##STR11#
- [0064] To a solution of 0.57 g of methyl 2-acetamidoacrylate in 500 ml of dichloromethane stirred under inert atmosphere, about 6.65 ml of N-methylpiperazine are added, then 0.97 g of iron trichloride are added, and the mixture is stirred at a temperature of about 20° C. for 66 hours. Then, 300 ml of an aqueous solution of sodium sulfate are dropped to the reaction medium while stirring the reaction mixture and the mixture is filtered through Celite. After separation of the phase by settling, the organic phase is dried over sodium sulfate, filtered and then concentrated in a vacuum oven under reduced pressure (2 kPa) at a temperature of about 40° C. in order to obtain an orange-colored liquid. The aqueous phase is extracted with 3 times 150 ml of dichloromethane and all of the organic extracts are collected, dried over sodium sulfate, then concentrated under reduced pressure (2 kPa) at a temperature of about 20° C. in order to obtain an yellow oil. Both of the organic extracts as described above are combined and purified by chromatography under argon pressure (50 kPa), on a column of silica gel (particle size 40-63 μm; diameter 5 cm; height 25 cm), eluting with successive mixtures of 20% dichloromethane/methanol/aqueous ammonia (99/1/0, 97/3/0, 90/10/0.25, 80/20/0.25 by volume). The fractions containing the expected product are combined and concentrated under reduced pressure (2 kPa) at a temperature of about 30° C. About 3.3 g of methyl 2-(acetylamino)-3-(4-methyl-piperazin-1-yl)propanoate are obtained in the form of a yellow liquid. [Infrared spectrum (CCl₄) 3437; 3318; 2941; 2798; 1749; 1685; 1499; 1458; 1374; 1206; 1204; 1168 and 1014 cm⁻¹].
- [0065] The pharmaceutical compositions according to the invention consist of a compound of formula (I) or an isomer or tautomer or salt of such a compound, in pure form or in the form of a composition in which it is combined with any other pharmaceutically compatible product, which may be inert or physiologically active. The medicinal products according to the invention may be used orally, parenterally, rectally or topically.
- [0066] Solid compositions for oral administration which can be used include tablets, pills, powders (gelatin capsules, cachets) or granules. In these compositions, the active principle according to the invention is mixed with one or more inert diluents, such as starch, cellulose, sucrose, lactose or silica, under a stream of argon. These compositions can also comprise substances other than diluents, for example, one or more lubricants such as magnesium stearate or talc, a dye, a coating (dragers) or a varnish.

L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)

[0067] Liquid compositions for oral administration which can be used include pharmaceutically acceptable solutions, suspensions, emulsions, syrups and elixirs containing inert diluents such as water, ethanol, glycerol, plant oils or liquid paraffin. These compositions can comprise substances other than diluents, for example, wetting products, sweeteners, thickeners, flavorings or stabilizers.

[0068] The sterile compositions for parenteral administration can preferably be aqueous or non-aqueous solutions, suspensions or emulsions. Solvent or vehicles which may be used include water, propylene glycol, a polyethylene glycol, plant oils, in particular, olive oil, injectable organic esters, for example ethyl oleate, or other suitable organic solvents. These compositions can also contain adjuvants, in particular, wetting agents, solvents. These compositions can also contain adjuvants, in particular, wetting agents, isotonic agents, emulsifiers, dispersants and stabilizers. The sterilization can be carried out in several ways, for example, by aseptic filtration, by incorporating sterilizing agents into the composition, by irradiation or by heating. They can also be prepared in the form of sterile solid compositions which can be dissolved at the time of use in sterile water or any other injectable sterile medium.

[0069] The compositions for rectal administration are suppositories or rectal capsules which contain, besides the active product, excipients such as cocoa butter, semi-synthetic glycerides or polyethylene glycols.

[0070] The compositions for topical administration can be, for example, creams, lotions, eye drops, mouth washes, nasal drops or aerosols.

[0071] In human therapy, the compounds according to the invention are particularly useful for treating and/or preventing multiple sclerosis, focal or global cerebral ischemia, cerebral or spinal trauma, Parkinson's disease, Huntington's disease, Alzheimer's disease, amyotrophic lateral sclerosis, migraine, depression, schizophrenia, anxiety, epilepsy, diabetes, atherosclerosis, myocarditis, arthritis, arthrosis, asthma, inflammatory bowel disease, Crohn's disease, peritonitis, gastro-esophageal reflux, uveitis, Guillain-Barré syndrome, glomerulonephritis, lupus erythematosus, psoriasis, the growth of certain forms of tumors such as, for example, epitheliomas, adenocarcinomas or sarcomas, and in infections with Gram-positive or Gram-negative intracellular or extracellular bacteria.

[0072] The doses depend on the desired effect, the duration of the treatment and the route of administration used; they are generally comprised between 1 mg and 100 mg per day via the oral route for an adult, with unit doses ranging from 0.5 mg to 50 mg of active substance.

[0073] The examples which follow illustrate compositions according to the invention:

EXAMPLE A

[0074] Gel capsules containing 50 mg of active product and having the composition below are prepared, according to the usual technique:

Compound of formula (I)	50 mg	
Cellulose	18 mg	
Lactose	55 mg	
Colloidal silica	1 mg	

L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)

Sodium carboxymethylstarch	10 mg
Talc	10 mg
Magnesium stearate	1 mg

EXAMPLE B

[0075] Tablets containing 50 mg of active product and having the composition below are prepared, according to the usual technique:

Compound of formula (I)	50 mg
Lactose	104 mg
Cellulose	40 mg
Polyvidone	10 mg
Sodium carboxymethylstarch	22 mg
Talc	10 mg
Magnesium stearate	2 mg
Colloidal silica	2 mg
Mixture of hydroxymethylcellulose, glycerol, titanium oxide (72/3.5/24.5) q.s. 1	245 mg.
finished film-coated tablet weighing	

EXAMPLE C

[0076] An injectable solution containing 10 mg of active product having the following composition:

Compound of formula (I)	10	mg
Benzoinic acid	80	mg
Benzyl alcohol	0.06	ml
Sodium benzoate	80	mg
95% ethanol	0.4	ml
Sodium hydroxide	24	mg
Propylene glycol	1.6	ml
Water q.s.	4	ml

[0077] The present invention also relates to the method for preventing and treating diseases in which an abnormal production of nitric oxide (NO) by induction of inducible NO-synthase (NOS-2 or iNOS) is involved by administration of a compound or formula (I), the racemic mixture, enantiomers, diastereoisomers thereof and mixtures thereof, tautomer thereof and pharmaceutically acceptable salts thereof.

CLM

What is claimed is:

- 1) A process for the preparation of a compound of the formula (III) ##STR12## comprising reacting a compound of formula (IIa): ##STR13## with a reducing agent to obtain a compound of formula (IIb): ##STR14## reacting said compound of formula (IIb) with a deprotecting agent to obtain a compound of formula (IIc): ##STR15## reacting said compound of formula (IIc) with tert-butylisothiocyanate to obtain a compound of formula (III): ##STR16## wherein R_a is a protecting group of the amine function and R_b is a protecting group of the acid function.
- 2) A method of treating an illness, which involves an abnormal production of nitric oxide (NO) by induction of an inducible NO-synthase (NOS-2), comprising administering to a patient in need of such a treatment a therapeutically effective amount of a compound of formula (I): ##STR17## wherein either Y is (CH₂sub.2) and X is chosen from the following group: O, NH, N-(C₁sub.1-C₁sub.4)alkyl, N-benzyl,

L9 ANSWER 1 OF 5 USPATFULL on STN (Continued)

N-phenyl, N-(2-pyridyl), N-(3-pyridyl), N-(4-pyridyl), N-2-pyrimidyl, N-5-pyrimidyl, S, SO, SO₂, CH₂sub.2 and CHPh, or Y is (C₁sub.0)O and X is chosen from the following group: NH, N-phenyl, N-(2-pyridyl), N-(3-pyridyl), N-(4-pyridyl), N-2-pyrimidyl and N-5-pyrimidyl, wherein the (C₁sub.1-C₁sub.4)alkyl contains 1 to 4 carbon atoms in a straight or branched chain; or a racemic mixture, an enantiomer, a diastereoisomer or a mixture thereof, or a tautomer thereof, or a pharmaceutically acceptable salt thereof, optionally in combination with a pharmaceutically acceptable carrier.

3) The method according to claim 2, wherein the compound of formula (I) is chosen from the following compounds: 4-(morpholin-4-ylmethyl)-4,5-dihydro-1,3-thiazol-2-ylamine, 4-(piperazin-1-ylmethyl)-4,5-dihydro-1,3-thiazol-2-ylamine, and 4-(4-methyl-piperazin-1-ylmethyl)-4,5-dihydro-1,3-thiazol-2-ylamine, or a racemic mixture, an enantiomer, or a tautomer thereof, or a diastereoisomer or a mixture thereof, or a tautomer thereof, or a pharmaceutically acceptable salt thereof.

4) The method according to claim 2, wherein the compound of formula (I) is 4-(4-methyl-piperazin-1-ylmethyl)-4,5-dihydro-1,3-thiazol-2-ylamine, or a racemic mixture, an enantiomer, or a tautomer thereof, or a diastereoisomer or a mixture thereof, or a tautomer thereof, or a pharmaceutically acceptable salt thereof.

5) The method according to claim 2, wherein the illness is selected from the group consisting of multiple sclerosis, cerebral, focal or global ischemia, cerebral or spinal trauma, Parkinson's disease, Huntington's disease, Alzheimer's disease, amyotrophic lateral sclerosis, migraine, depression, schizophrenia, anxiety and epilepsy.

6) The method according to claim 2, wherein the illness is Parkinson's disease.

7) The method according to claim 2, wherein the illness is caused by inflammatory components.

8) The method according to claim 2, wherein the illness is caused by the growth of a tumor.

INCL INCLM: 514/241.000
INCLS: 514/256.000; 514/331.000; 544/215.000; 544/335.000; 546/247.000

NCL NCIM: 514/241.000
NCLS: 514/256.000; 514/331.000; 544/215.000; 544/335.000; 546/247.000

IC [7]
ICM: A61K031-53
ICS: C07D211-30; A61K031-505; A61K031-445

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 2 OF 5 USPATFULL on STN
ACCESSION NUMBER: 20031238488 USPATFULL
TITLE: Use of 2-amino-thiazoline derivatives as inhibitors of
inducible no-synthase
INVENTOR(S): Bigot, Antony, Massy, FRANCE
Carry, Jean-Christophe, Saint Maur Des Fosses, FRANCE
Mignani, Serge, Chatenay-Malabry, FRANCE

NUMBER KIND DATE

PATENT INFORMATION: US 2003166646 A1 20030904
US 6699867 B2 20040302
APPLICATION INFO.: US 2002-291084 A1 20021108 (10)

NUMBER DATE

PRIORITY INFORMATION: FR 2001-14510 20011109
US 2002-352797P 20020130 (60)
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE
202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 632
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 3 OF 5 USPATFULL on STN
ACCESSION NUMBER: 1999:34001 USPATFULL
TITLE: Piperazine derivatives
INVENTOR(S): Matsuo, Masaki, Toyonaka, Japan
Hagiwara, Daijiro, Moriguchi, Japan
Manabe, Takashi, Kawanishi, Japan
Konishi, Nobukiyo, Nagakakyō, Japan
Shigenaga, Shinji, Kobe, Japan
Murano, Kenji, Ōsaka, Japan
Matsuda, Hiroshi, Ōsaka, Japan
Miyake, Hiroshi, Kyoto, Japan
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Ōsaka, Japan
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5883098		19990316
APPLICATION INFO.:	US 1997-884039		19970627 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-450176, filed on 25 May 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-348176, filed on 28 Nov 1994, now patented, Pat. No. US 5670505		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1993-24479	19931129
	GB 1994-2010	19940202
	GB 1994-12708	19940624

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Bernhardt, Emily
LEGAL REPRESENTATIVE: Oblion, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
LINE COUNT: 5279
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 4 OF 5 USPATFULL on STN
ACCESSION NUMBER: 97:86613 USPATFULL
TITLE: Piperazine derivatives
INVENTOR(S): Matsuo, Masaki, Toyonaka, Japan
Hagiwara, Daiziro, Horiguchi, Japan
Manabe, Takashi, Kawanishi, Japan
Konishi, Nobukiyo, Nagaoakakyō, Japan
Shigenaga, Shinji, Kobe, Japan
Murano, Kenji, Osaka, Japan
Matsuda, Hiroshi, Osaka, Japan
Miyake, Hiroshi, Kyoto, Japan
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Osaka, Japan
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5670505		19970923
APPLICATION INFO.:	US 1994-348176		19941128 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1993-24479	19931129
	GB 1994-2010	19940202
	GB 1994-12708	19940624

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Bernhardt, Emily
LEGAL REPRESENTATIVE: Obion, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 4557

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 5 OF 5 USPATFULL on STN
ACCESSION NUMBER: 83:49464 USPATFULL
TITLE: Benzydrylpireroxinyl thiazole derivatives and pharmaceutical composition comprising the same
INVENTOR(S): Ueda, Ikuo, Toyonaka, Japan
Morino, Daizou, Matsubara, Japan
Takimoto, Koichi, Osaka, Japan
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Osaka, Japan
(non-U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 4411900 19831025
APPLICATION INFO.: US 1980-215372 19801211 (6)

NUMBER DATE

PRIORITY INFORMATION: GB 1980-162 19800103
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Daus, Donald G.
ASSISTANT EXAMINER: Gibson, S. A.
LEGAL REPRESENTATIVE: Oblon, Fisher, Spivak, McClelland & Maier
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 1486
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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FILE COVERS 1907 - 14 Nov 2004 VOL 141 ISS 21
 FILE LAST UPDATED: 12 Nov 2004 (20041112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s L8
      50128 THIAZOL?
      40353 PIPERAZIN?
      19395 PARKINSON?
      4817 ANTIPARKINSON?
L10          58 (THIAZOL? AND PIPERAZIN?) AND (PARKINSON? OR ANTIPARKINSON?)
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=> d L10 ti 1 - 58
'-' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
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CAN -----	List of CA abstract numbers without answer numbers
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DALL -----	ALL, delimited (end of each field identified)
DMAX -----	MAX, delimited for post-processing
FAM -----	AN, PI and PRAI in table, plus Patent Family data
FBIB -----	AN, BIB, plus Patent FAM
IND -----	Indexing data
IPC -----	International Patent Classifications
MAX -----	ALL, plus Patent FAM, RE
PATS -----	PI, SO
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STD -----	BIB, IPC, and NCL

L10 ANSWER 1 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:857547 CAPLUS
 DOCUMENT NUMBER: 141:350174
 TITLE: Preparation of benzaldehyde or heterocycle carbosaldehyde hydrazone derivatives as inhibitors of agglutination and/or deposition of an amyloid protein or amyloid-like protein
 INVENTOR(S): Kawagoe, Keiichi; Motoki, Kayoko; Odagiri, Takashi; Suzuki, Nobuyuki; Chen, Chun-Jen; Mimura, Tetsuya
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Intl. Appl., 236 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004097641	A1	20041014	WO 2004-JP4607	20040331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, ME, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, IN, TR, IT, IZ, UA, UD, US, UZ, VC, VN, YU, ZA, ZH, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2003-94257 A 20030331
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:550745 CAPLUS
 DOCUMENT NUMBER: 141:106475
 TITLE: Preparation of 5-membered heterocycle derivatives for treating neurodegenerative disorders or pain
 INVENTOR(S): Chabrier De Lessauvrière, Pierre-Etienne; Harnett, Jeremie; Bigg, Dennis; Liberatore, Anne-Marie; Pommier, Jacques; Lannoy, Jacques; Thurieau, Christophe
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 150 pp., Cont.-in-part of U.S. Ser. No. 89,993.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004132788	A1	20040708	US 2003-681002	20031008
FR 2799461	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020208	FR 2000-10151	20000801
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, RU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TN				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1228760	A2	20020807	EP 2002-76763	20001010
EP 1228760	A3	20040128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IS, SI, LT, LV, FI, RO, MX, CY, AL				

PRIORITY APPLN. INFO.: FR 1999-12643 A 19991011
 FR 2000-10151 A 20000801
 FR 2000-11169 A 20000901
 WO 2000-FR2805 W 20001010
 JP 1989-4943 A 20010410
 JP 1990-1811 A 20020214
 US 2002-89993 A2 20020404
 EP 2000-967988 A3 20001010

OTHER SOURCE(S): MARPAT 141:106475

L10 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:533974 CAPLUS
 DOCUMENT NUMBER: 141:89087
 TITLE: Preparation of 2-(piperazinylmethyl)-1H-imidazoles and related compounds that are useful in treating sexual dysfunction
 INVENTOR(S): Cowart, Marlon D.; Patel, Meena V.; Kolassa, Teodozzy; Brioni, Jorge D.; Rohde, Jeffrey J.; Engstrom, Kenneth M.; Stewart, Andrew O.; Daamen, Jerome F.; Bhatia, Pramila A.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 59 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004127504	A1	20040701	US 2003-656672	20030905
PRIORITY APPLN. INFO.:			US 2002-408784P	P 20020906
OTHER SOURCE(S):				MARPAT 141:89087

L10 ANSWER 4 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:451670 CAPLUS
 DOCUMENT NUMBER: 141:23523
 TITLE: Preparation of thiacolidine-4-carbonitriles and analogs and their use as dipeptidyl-peptidase inhibitors
 INVENTOR(S): Sankaranarayanan, Alangudi
 PATENT ASSIGNEE(S): Torreto Pharmaceuticals Ltd., India
 SOURCE: U.S. Pat. Appl. Publ., 90 pp., Cont.-in-part of U.S. Ser. No. 408,276.
 CODEN: USXKCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004106802	A1	20040603	US 2003-721272	20031126
US 2003225102	A1	20031204	US 2003-408276	20030408
PRIORITY APPLN. INFO.:			US 2002-370224P	P 20020408
			US 2003-408276	A2 20030408
OTHER SOURCE(S):			CASREACT 141:23523; MARPAT 141:23523	

L10 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:971728 CAPLUS
DOCUMENT NUMBER: 140:16749

TITLE: Preparation of piperasinyl, piperidinyl and related acetamides and benzamides as dopamine D₄ receptor agonists useful in treating sexual dysfunction
INVENTOR(S): Bhatia, Pramila A.; Daanen, Jerome F.; Hakeem, Ahmed A.; Kolasa, Teodoryj; Matulenko, Mark A.; Mortell, Kathleen H.; Patel, Meena V.; Stewart, Andrew O.; Wang, Xueqing; Xia, Zhiren; Zhang, Henry Q.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 171 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
US 2003229094	A1	20031211	US 2003-444687	20030523
PRIORITY APPLN. INFO.:			US 2002-382863P	P 20020523
OTHER SOURCE(S):	MARPAT 140:16749			

L10 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:950827 CAPLUS
DOCUMENT NUMBER: 140:16746

TITLE: Preparation of piperasinyl, piperidinyl and related acetamides and benzamides as dopamine D₄ receptor agonists useful in treating sexual dysfunction
INVENTOR(S): Bhatia, Pramila A.; Daanen, Jerome F.; Hakeem, Ahmed A.; Kolasa, Teodoryj; Matulenko, Mark A.; Mortell, Kathleen H.; Patel, Meena V.; Stewart, Andrew O.; Wang, Xueqing; Xia, Zhiren; Zhang, Henry Q.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
WO 2003099266	A2	20031204	WO 2003-US158568	20030519
WO 2003099266	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZV RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
US 2003232836	A1	20031218	US 2002-154373	20020523
US 2004029887	A1	20040212	US 2003-425152	20030429
PRIORITY APPLN. INFO.:			US 2002-154373	A 20020523
OTHER SOURCE(S):	MARPAT 140:16746		US 2003-425152	A 20030429

L10 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:818408 CAPLUS
DOCUMENT NUMBER: 139:323514

TITLE: Preparation of thiazolidine-4-carbonitriles and analogs and their use as dipeptidyl-peptidase inhibitors

INVENTOR(S): Sankaranarayanan, Alangudi
PATENT ASSIGNEE(S): India
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
WO 2003081940	A1	20031016	WO 2003-IB1330	20030403
WO 2003081940	B1	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZV RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:	CASREACT 139:323514; MARPAT 139:323514		US 2002-370224P	P 20020408
OTHER SOURCE(S):				
REFERENCE COUNT:	2		THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	

L10 ANSWER 12 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:756689 CAPLUS
DOCUMENT NUMBER: 139:323431

TITLE: Preparation of heterocyclyl-substituted 2-oxindoles and 2,3-dihydro-1H-indol-2-ols as glycogen synthase kinase-3 inhibitors

INVENTOR(S): Berg, Stefan; Hellberg, Sven; Nyloef, Martin; Xue, Yafeng
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003082853	A1	20031009	WO 2003-SE508	20030328
WO 2003082853	C1	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZV RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:	CASREACT 139:323431; MARPAT 139:323431		SE 2002-979	A 20020328
OTHER SOURCE(S):				
REFERENCE COUNT:	8		THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	

L10 ANSWER 13 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:696859 CAPLUS

DOCUMENT NUMBER: 139:230480

TITLE: Preparation of substituted amines prodrugs useful in
treating Alzheimer's disease
INVENTOR(S): Vargheese, John; Jagodzinska, Barbara; Maillard,
Michael; Beck, James P.; Tenbrink, Ruth E.; Getman,
Daniel

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
SOURCE: PCT Int. Appl., 483 pp.

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072535	A2	20030904	WO 2003-US7287	20030227
WO 2003072535	C1	20040930		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-359953P P 20020227

OTHER SOURCE(S): MARPAT 139:230480

L10 ANSWER 14 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:678507 CAPLUS

DOCUMENT NUMBER: 139:214467

TITLE: Preparation of 2-(piperazinylmethyl)-
1H-benzimidazoles and related compounds that are
useful in treating sexual dysfunction
INVENTOR(S): Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome
F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa,
Tadeozja; Brioni, Jorge D.; Rohde, Jeffrey; Engstrom,
Kenneth R.

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S.
Ser. No. 94,265.
CODEN: USXOCC

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2003162790 A1 20030828 US 2002-236812 20020906

US 2002169167 A1 20021114 US 2002-94265 20020308

WO 2003-US6406 20030304

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

BR 2003005708 A 20040928 BR 2003-5708 20030304

PRIORITY APPLN. INFO.: US 2001-274805P P 20010309

US 2001-296078P P 20010605

US 2002-94265 A2 20020308

US 2001-340452P P 20011214

US 2002-236812 A 20020906

WO 2003-US6406 W 20030304

OTHER SOURCE(S): MARPAT 139:214467

L10 ANSWER 15 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:570966 CAPLUS

DOCUMENT NUMBER: 139:117432

TITLE: Substituted pyridazinones as inhibitors of p38 kinase
INVENTOR(S): Hepperle, Michael; Jerome, Kevin D.; Walker, John;
Selness, Shaun; Devraj, Rajesh

PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 177 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059891	A1	20030724	WO 2003-US1780	20030121

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004142932 A1 20040722 US 2003-347853 20030121
EP 1470112 A1 20041027 EP 2003-707475 20030121

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MR, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, RU, SK

PRIORITY APPLN. INFO.: US 2002-350741P P 20020118

US 2002-355044P P 20020207

WO 2003-US1780 W 20030121

OTHER SOURCE(S): MARPAT 139:117432
REFERENCE COUNT: 18

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:551510 CAPLUS

DOCUMENT NUMBER: 139:117434

TITLE: Aminopyrimidines as adenosine receptor antagonists,
processes for their preparation and pharmaceutical
compositions

INVENTOR(S): Tsutsumi, Hideo; Yonishi, Satoshi; Akahane, Atsushi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057689	A1	20030717	WO 2002-JP13796	20021227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2003-9796 A 20020102
AU 2002-17403 A 20020412
AU 2002-951403 A 20020916

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:454113 CAPLUS
 DOCUMENT NUMBER: 139:36517
 TITLE: Preparation of 1-phenyl-oxazolidine-2-ones as protease M inhibitors for the treatment of tumor illnesses and neurodegenerative diseases
 INVENTOR(S): Buchstaller, Hans-Peter; Poeschke, Oliver; Willems, Andreas
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: PCT Int. Appl., 86 pp.
 CODEN: PIKXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047572	A1	20030612	WO 2002-EP12162	20021031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZV			RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, RU, SC, SE, SG, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG	
DE 10159453	A1	20030618	DE 2001-10159453	20011204
PRIORITY APPLN. INFO.:			DE 2001-10159453	
OTHER SOURCE(S):	MARPAT 139:36517			
REFERENCE COUNT:	5		THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	

L10 ANSWER 18 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:417722 CAPLUS
 DOCUMENT NUMBER: 139:6887
 TITLE: Preparation of substituted piperidines and piperazines useful as β -secretase inhibitors against Alzheimer's disease
 INVENTOR(S): John, Varghese; Moon, Joseph B.; Pulley, Shon R.; Rich, Daniel H.; Brown, David L.; Jagodzinska, Barbara; Jacobs, Jon S.
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
 SOURCE: PCT Int. Appl., 218 pp.
 CODEN: PIKXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043987	A2	20030530	WO 2002-US37037	20021119
WO 2003043987	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZV		RW: GH, GM, KE, LS, MW, MZ, SD, SL, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TU, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG		
US 2004034031	A1	20040219	US 2002-299746	20021119
EP 1448200	A2	20040825	EP 2002-795653	20021119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			US 2001-332708P	P 20011119
			US 2002-383167P	P 20020524
OTHER SOURCE(S):	MARPAT 139:6887		WO 2002-US37037	W 20021119

L10 ANSWER 19 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:376836 CAPLUS
 DOCUMENT NUMBER: 138:36886
 TITLE: Preparation of 4-(azinylmethyl)-substituted 2-aminothiazoline derivatives as inhibitors of inducible NO-synthase and their use in the treatment of Parkinson's disease
 INVENTOR(S): Bigot, Anthony; Carry, Jean-Christophe; Mignani, Serge Aventis Pharma S.A., Fr.
 PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIKXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040115	A1	20030515	WO 2002-FR3810	20021107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZV, AM, AZ, BY, KG, KZ, MD, RU, TU, TM		RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG		
FR 2832152	A1	20030516	FR 2001-14510	20011109
BR 2002006354	A	20031223	BR 2002-6354	20021107
EP 1446393	A1	20040918	EP 2002-796839	20021107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003166646	A1	20030904	US 2002-291084	20021108
US 6699867	B2	20040302		
NO 2002003129	A	20030827	NO 2003-3129	20030708
US 2004157843	A1	20040812	US 2004-764953	20040126
PRIORITY APPLN. INFO.:			FR 2001-14510	A 20011109
			US 2002-352797P	P 20020130
OTHER SOURCE(S):	MARPAT 138:36886		WO 2002-FR3810	W 20021107
REFERENCE COUNT:	4		US 2002-291084	A1 20021108

L10 ANSWER 20 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:376556 CAPLUS
 DOCUMENT NUMBER: 138:385437
 TITLE: Preparation of 5-(6-oxo-1,6-dihydro-3-pyridazinyl)-4-phenylthiazoles as adenosine receptor antagonists
 INVENTOR(S): Tsutsumi, Hideo; Tabuchi, Seiichiro; Akahane, Atsushi; Yasuda, Hiromu; Omori, Hiroki; Temmaru, Kiyoshi; Zanka, Atsuhiko
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 183 pp.
 CODEN: PIKXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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ACCESSION NUMBER: 2003:319720 CAPLUS

DOCUMENT NUMBER: 138:338161

TITLE: Preparation of imidazo[4,3-e]-2,4-triazolo[1,5-c]pyrimidines as adenosine A2A receptor antagonists

INVENTOR(S): Tushman, Deena Silverman, Lisa S.; Matsui, Julius J.

Kiseigof, Eugenia V.; Caldwell, John P.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 40 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032996	A1	20030424	WO 2002-US32630	20021011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, HA, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LR, LT, LU, LV, MA, MD, MG, MK, MN, MZ, NO, NZ, PH, PT, RO, RU, SE, SK, TR, WF, YU, ZA, ZH, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, WF, BD, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG				
US 2003171381	A1	20030911	US 2002-269754	20021011
US 6653315	B2	20031125		
EP 1435960	A1	20040714	EP 2002-770530	20021011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.:

US 2001-329567P P 20011015
WO 2002-US32630 W 20021011

OTHER SOURCE(S): MARPAT 138:338161

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:319400 CAPLUS

DOCUMENT NUMBER: 138:337980

TITLE: Novel 2-[(iminoethyl)amino]phenyl derivatives useful as inhibitors of NO synthase and lipid peroxidation, their preparation, their application as medicines, and pharmaceutical compositions containing them

INVENTOR(S): Chabrier De Lassaunderie, Pierre Etienne; Avuin, Serge; Bigg, Dennis; August, Michel; Harnett, Jeremiah;

Societe de Conseils de Recherches et D'Applications scientifiques (S.C.R.A.S.), Fr.

SOURCE: U.S. Pat. Appl. Publ., 78 pp., Cont.-in-part of U.S. Ser. No. 882,264.

CODEN: USXKC0

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003078420	A1	20030424	US 2002-191950	20020709
US 6809088	B2	20041026		
FR 2761066	A1	19980925	FR 1997-3528	19970324
FR 2761066	B1	20001124		
FR 2764889	A1	19981224	FR 1997-7701	19970620
FR 2764889	B1	20000901		
WO 19981001	A1	19981001	WO 1998-FR288	19980216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, HA, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LR, LT, LU, LV, MA, MD, MG, MK, MN, MZ, NO, NZ, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TZ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, FI, FR, GB, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
RW: GH, GM, KE, LS, MW, SD, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
WO 9858934	A1	19981230	WO 1998-FR1250	19980615
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TZ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, FI, FR, GB, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6335445	B1	20020101	US 1999-456205	19991207
US 2002007062	A1	20020117	US 2001-882264	20010615
US 6630461	B2	20031007		

PRIORITY APPLN. INFO.:

FR 1997-3528 A 19970324

FR 1997-7701 A 19970620

WO 1998-FR288 W 19980216

WO 1998-FR1250 W 19980615

US 1999-456205 A3 19991207

US 2001-882264 A2 20010615

US 1999-381749 A2 19990922

OTHER SOURCE(S): -MARPAT 138:337988

ACCESSION NUMBER: 2003:154241 CAPLUS

DOCUMENT NUMBER: 138:205047

TITLE: Preparation of 2-aminobenzothiazoles as polyQ aggregation inhibitors for Huntington's disease and other conditions

INVENTOR(S): Boettcher, Henning; Herhaus, Christian; Barnickel, Gerhard; Wanker, Erich E.; Heiser, Volker; Lehrach, Hans; Broeker, Wolfgang; Dunkel, Ilona

PATENT ASSIGNEE(S): Max-Planck-Gesellschaft zur Foerderung der Wissenschaften e.V., Germany

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200301227	A1	20030227	WO 2002-EP7912	20020717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LR, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TZ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, WF, BD, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, WF, BD, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG				
EP 1416931	A1	20040512	EP 2002-764704	20020717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.:

EP 2001-118838 A 20010813
WO 2002-EP7912 W 20020717

OTHER SOURCE(S): MARPAT 138:205047

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:23532 CAPLUS

DOCUMENT NUMBER: 138:99812

TITLE: Preparation of heteroalkyl-substituted benzimidazoles useful in treating sexual dysfunction

INVENTOR(S): Cowart, Marion D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodorczyk; Brioni, Jorge D.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 803,537, abandoned.

CODEN: USXKC0

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003008878	A1	20030109	US 2001-874484	20011065
US 2002169166	A1	20021114	US 2001-17939	20011214
WO 2002008093	A1	20021107	WO 2002-US7791	20020306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LR, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TZ, TM, TN, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW, AM, AZ, BY, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG				
EP 1373220	A1	20040102	EP 2002-731130	20020306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
NO 2003003959	A	20031110	NO 2003-3959	20030908

PRIORITY APPLN. INFO.:

US 2001-803537 B2 20010309

US 2001-874484 A2 20010605

US 2001-17939 A 20011214

WO 2002-US7791 W 20020306

OTHER SOURCE(S): MARPAT 138:89812

L10 ANSWER 25 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:869583 CAPLUS
 DOCUMENT NUMBER: 137:1353027
 TITLE: Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction
 INVENTOR(S): Cowart, Marion D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozjy; Brioni, Jorge D.; Rohde, Jeffrey
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 53 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169167	A1	20021114	US 2002-94265	20020308
US 2003162790	A1	20030828	US 2002-236812	20020906
WO 2003076431	A1	20030918	WO 2003-US6406	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SO, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MO, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TO, TG				
BR 2003005708	A	20040928	BR 2003-5708	20030304
US 2004110766	A1	20040610	US 2003-699465	20031031
PRIORITY APPLN. INFO.:			US 2001-274805P	P 20010309
			US 2001-296078P	P 20010605
			US 2001-340452P	P 20011214
			US 2002-94265	A2 20020308
			US 2002-236812	A 20020906
			WO 2003-US6406	W 20030304

OTHER SOURCE(S): MARPAT 137:353027

L10 ANSWER 26 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:869582 CAPLUS
 DOCUMENT NUMBER: 137:1353026
 TITLE: Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction
 INVENTOR(S): Cowart, Marion D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozjy; Brioni, Jorge D.; Rohde, Jeffrey
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 874,484.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169166	A1	20021114	US 2001-17939	20011214
US 2003008878	A1	20030109	US 2001-874484	20010605
WO 2002088093	A1	20021107	WO 2002-US7791	20020306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TO, TG				
EP 1373220	A1	20040102	EP 2002-731130	20020306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003003959	A	20031110	NO 2003-3959	20030908
PRIORITY APPLN. INFO.:			US 2001-803537	B2 20010309
			US 2001-874484	A2 20010605
			US 2001-17939	A 20011214
			WO 2002-US7791	W 20020306

OTHER SOURCE(S): MARPAT 137:353026

L10 ANSWER 27 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:868688 CAPLUS
 DOCUMENT NUMBER: 137:369830
 TITLE: Preparation of terphenyls and related polyaromatic compounds as proteomimetics for inhibiting the interaction of an α -helical protein with another protein or binding site
 INVENTOR(S): Hamilton, Andrew D.; Ernst, Justin; Orner, Brendan
 PATENT ASSIGNEE(S): Yale University, USA
 SOURCE: PCT Int. Appl., 142 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089738	A2	20021114	WO 2002-US14494	20020508
WO 2002089738	A3	20030410		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MO, RU, TJ, TM, AT, BE, BG, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TO, TG				
US 2003008882	A1	20030109	US 2002-142126	20020508
EP 1408986	A2	20040421	EP 2002-734269	20020508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2001-289640P	P 20010508
			WO 2002-US14494	W 20020508

OTHER SOURCE(S): MARPAT 137:369830

L10 ANSWER 28 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:849600 CAPLUS
 DOCUMENT NUMBER: 137:135023
 TITLE: Preparation of 2-heterocycloalkyl-benzimidazole derivatives for treating sexual dysfunction
 INVENTOR(S): Cowart, Marion D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Kolasa, Teodozjy; Rohde, Jeffrey J.; Patel, Meena V.; Brioni, Jorge D.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 115 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088093	A1	20021107	WO 2002-US7791	20020306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003008878	A1	20030109	US 2001-874484	20010605
US 2002169166	A1	20021114	US 2001-17939	A 20011214
EP 1373220	A1	20040102	EP 2002-731130	20020306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003003959	A	20031110	NO 2003-3959	20030908
PRIORITY APPLN. INFO.:			US 2001-803537	B2 20010309
			US 2001-874484	A2 20010605
			US 2001-17939	A 20011214
			WO 2002-US7791	W 20020306

OTHER SOURCE(S): MARPAT 137:353023
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:814126 CAPLUS
 DOCUMENT NUMBER: 137:325327
 TITLE: Preparation of thieryl-substituted pyrimidinyl, pyridinyl and triazinyl amines as inhibitors of c-Jun N-terminal kinases (JNK) and other protein kinases
 INVENTOR(S): Cao, Jingrong; Green, Jeremy; Moon, Young-Choon; Wang, Jian; Ledeboer, Mark; Harrington, Edmund; Gao, Huai
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 137 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083667	A2	20021024	WO 2002-US11570	20020410
WO 2002083667	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
US 2003096816	A1	20030522	US 2002-121035	20020410
US 6642227	B2	20031104		
EP 1389206	A2	20040218	EP 2002-762067	20020410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004023963	A1	20040205	US 2003-437666	20030514
PRIORITY APPLN. INFO.:			US 2001-283621P	P 20010413
			US 2001-292974P	P 20010523
			US 2001-329440P	P 20011015
			US 2002-121035	A3 20020410
			WO 2002-US11570	W 20020410

OTHER SOURCE(S): MARPAT 137:325327

L10 ANSWER 30 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:814123 CAPLUS
 DOCUMENT NUMBER: 137:310627
 TITLE: Preparation of quinoline-4-carboxamide derivatives as NK3 and NK2 receptor antagonists
 INVENTOR(S): Farina, Carlo; Gagliardi, Stefania; Giardina, Giuseppe Arnaldo Maria; Martirelli, Marisa
 PATENT ASSIGNEE(S): GlaxoSmithKline S.P.A., Italy
 SOURCE: PCT Int. Appl., 78 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083663	A1	20021024	WO 2002-EP4066	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
EP 1377567	A1	20040107	EP 2002-735247	20020411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 200425183	T2	20040819	JP 2002-581418	20020411
US 2004152726	A1	20040805	US 2004-474542	20040315
PRIORITY APPLN. INFO.:			GB 2001-9123	A 20010411
			GB 2002-5649	A 20020311
			WO 2002-EP4066	W 20020411

OTHER SOURCE(S): MARPAT 137:310827
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 31 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:814116 CAPLUS
 DOCUMENT NUMBER: 137:325417
 TITLE: Preparation and application of 5-membered heterocycles as medicaments
 INVENTOR(S): Harnett, Jeremiah; Bigg, Dennis; Liberatore, Anne-Marie; Rolland, Alain
 PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (SCRAS), Fr.
 SOURCE: PCT Int. Appl., 132 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083656	A2	20021024	WO 2002-FR1218	20020409
WO 2002083656	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
FR 2923208	A1	20021011	FR 2001-4943	20010410
FR 2923208	B1	20040319		
EP 1379514	A2	20040114	EP 2002-761921	20020409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531526	T2	20041014	JP 2002-581412	20020409
NO 2003004524	A	20031029	NO 2003-4524	20031009
PRIORITY APPLN. INFO.:			FR 2001-4943	A 20010410
			FR 2002-1811	A 20020214
			WO 2002-FR1218	W 20020409

L10 ANSWER 32 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:754196 CAPLUS
 DOCUMENT NUMBER: 137:257677
 TITLE: Methods of treating or preventing Alzheimer's disease using 4-aryl-3-alkoxypiperidines and -azabicyclooctanes
 INVENTOR(S): Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
 SOURCE: PCT Int. Appl., 449 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076440	A2	20021003	WO 2002-US9100	20020321
WO 2002076440	A3	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2001-278371F	P 20010323
			US 2001-308729F	P 20010730
OTHER SOURCE(S): MARPAT 137:257677				

L10 ANSWER 33 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:726033 CAPLUS
 DOCUMENT NUMBER: 137:247603
 TITLE: Preparation of 1,3-di- and 1,3,3-trisubstituted pyrrolidines as histamine-3 receptor ligands for treatment of Alzheimer's disease, ADHD, epilepsy, narcolepsy, and obesity
 INVENTOR(S): Bennani, Youssef L.; Faghih, Ramin; Dwight, Wesley J.; Vasudevan, Anil; Conner, Scott E.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 902,925.
 CODEN: USXKCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002137931	A1	20020926	US 2002-44471	20020111
US 6620839	B2	20030916		
US 2002035103	A1	20020321	US 2001-902925	20010711
US 6515013	B2	20030204		
WO 2003059341	A1	20030724	WO 2003-US703	20030110
V: CA, JP, MX RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
EP 1467727 A1 20041020 EP 2003-701286 20030110 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.: US 2000-218084P P 20000713 US 2001-902925 A 20010711 US 2002-44471 A 20020111 WO 2003-US703 W 20030110				

OTHER SOURCE(S): MARPAT 137:247603

L10 ANSWER 34 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:676015 CAPLUS
 DOCUMENT NUMBER: 137:201315
 TITLE: Heteropolycyclic compounds, particularly pyridyl- and phenyl-substituted 1,2,4-oxadiazoles and analogs, and their use as metabotropic glutamate receptor antagonists for inhibiting neuronal damage
 INVENTOR(S): Slassi, Abdellah; Van Wagener, Bradford; Stormann, Thomas M.; Mo, Scott T.; Sheehan, Susan M.; McLeod, Donald A.; Smith, Daryl L.; Isaac, Mechvin Benjamin
 PATENT ASSIGNEE(S): Can.
 SOURCE: PCT Int. Appl., 272 pp.
 CODEN: PIIXKD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002069417	A2	20020906	WO 2002-US4689	20020219
WO 2002068417	A3	20021114		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, ND, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, CY, KG, KZ, HD, RU, TJ, TM				
RW: GH, GM, KE, LS, KW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG				
EP 1379525 A2 20040114 EP 2002-787093 20020219 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, PI, RO, HK, CY, AL, TR				
NO 2003003711 A 20031017 NO 2003-3711 20030820 PRIORITY APPLN. INFO.: US 2001-269847P P 20010221 WO 2002-US4689 W 20020219				
OTHER SOURCE(S): MARPAT 137:201315				

L10 ANSWER 35 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:504649 CAPLUS
 DOCUMENT NUMBER: 137:83638
 TITLE: Concomitant drugs of p38MAP kinase inhibitors and/or TNF- α production inhibitors with other specified agents
 INVENTOR(S): Ohkawa, Shigenori; Naruo, Kenichi; Miwatashi, Seiji
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 278 pp.
 CODEN: PIIXKD2

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051442	A1	20020704	WO 2001-JP11353	20011225
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, MZ, ND, NZ, OM, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, CY, KG, KZ, HD, RU, TJ, TM				
RW: GH, GM, KS, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG				
JP 2002302458 A2 20021014 JP 2001-392778 20011225 EP 1354603 A1 20031022 EP 2001-271876 20011225 R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004097555 A1 20040520 US 2003-451839 20030625 JP 2000-396220 A 20001226 JP 2001-27572 A 20010202 WO 2001-JP11353 W 20011225				

OTHER SOURCE(S): MARPAT 137:83638
 REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 36 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:221215 CAPLUS
 DOCUMENT NUMBER: 136:263087
 TITLE: Preparation of 1,3-di- and 1,3,3-trisubstituted pyrrolidines as histamine-3 receptor ligands for treatment of Alzheimer's disease, ADHD, epilepsy, and narcolepsy
 INVENTOR(S): Bennani, Youssef L.; Faghih, Ramin; Dwight, Wesley J.; Vasudevan, Anil; Conner, Scott E.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 54 pp.
 CODEN: USXKCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002035103	A1	20020321	US 2001-902925	20010711
US 6515013	B2	20030204		
US 2002137931	A1	20020926	US 2002-44471	20020111
US 6620839	B2	20030916		
PRIORITY APPLN. INFO.: US 2000-218084P P 20000713 US 2001-902925 A2 20010711				
OTHER SOURCE(S): MARPAT 136:263087				

L10 ANSWER 37 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:171898 CAPLUS
 DOCUMENT NUMBER: 136:232298
 TITLE: Pyrazolopyridine compounds and pharmaceutical use thereof as adenosine receptor antagonists
 INVENTOR(S): Akahane, Atsushi; Tanaka, Akira; Minagawa, Masatoshi; Itani, Hiromichi; Otake, Hiroaki
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 149 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018382	A1	20020307	WO 2001-JP7322	20010827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
AU 2001080188	A5	20020313	AU 2001-80188	20010827
EP 1313733	A1	20030528	EP 2001-958521	20010827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004507542	T2	20040311	JP 2002-523897	20010827
US 2004110763	A1	20040610	US 2003-344894	20030226
PRIORITY APPLN. INFO.:			AU 2000-9698	A 20000828
			WO 2001-JP7322	W 20010827

OTHER SOURCE(S): MARPAT 136:232298
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 38 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:107318 CAPLUS
 DOCUMENT NUMBER: 136:151163
 TITLE: Preparation of indazole derivatives as JNK enzyme inhibitors
 INVENTOR(S): Bhagat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.
 PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 412 PP.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010137	A2	20020207	WO 2001-US23890	20010730
WO 2002010137	C2	20030206	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG	
EP 1313711	A2	20030528	EP 2001-957332	20010730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513882	T2	20040513	JP 2002-516269	20010730
NZ 524045	A	20040730	NZ 2001-524045	20010730
PRIORITY APPLN. INFO.:			US 2000-221799P	P 200000731
			WO 2001-US23890	W 20010730

OTHER SOURCE(S): MARPAT 136:151163

L10 ANSWER 39 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:72038 CAPLUS
 DOCUMENT NUMBER: 136:134668
 TITLE: Preparation of 1,3-di- and 1,3,3-trisubstituted pyrrolidines as histamine-3 receptor ligands for treatment of Alzheimer's disease, ADHD, epilepsy, and narcolepsy
 INVENTOR(S): Bennahi, Youssef L.; Faghih, Ramin; Dwight, Wesley J.; Vasudevan, Anil; Conner, Scott E.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 146 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006223	A1	20020214	WO 2001-US21929	20010711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
EP 1301490	A1	20030416	EP 2001-952653	20010711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509076	T2	20040325	JP 2002-512129	20010711
BR 2001008088	A	20040406	BR 2001-8088	20010711
PRIORITY APPLN. INFO.:			US 2000-615151	A 20000713
			WO 2001-US21929	W 20010711

OTHER SOURCE(S): MARPAT 136:134668
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 40 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:747784 CAPLUS
 DOCUMENT NUMBER: 135:303878
 TITLE: Preparation of 5-(4-pyridyl)thiazoles as p38MAP kinase inhibitors and inhibitors of TNF- α production
 INVENTOR(S): Ohkawa, Shigenori; Naruo, Ken-ichi; Miwatashi, Seiji; Kimura, Hiroyuki
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 288 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074811	A2	20011011	WO 2001-JP2629	20010329
WO 2001074811	A3	20020207	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UN, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG	
AU 2001044618	A5	20011015	AU 2001-44618	20010329
EP 1268474	A2	20030102	EP 2001-917595	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002302488	A2	20021018	JP 2001-100546	20010330
US 2004053973	A1	20040318	US 2002-239692	20020925
PRIORITY APPLN. INFO.:			JP 2000-97876	A 20000330
			JP 2001-27571	A 20010202
			WO 2001-JP2629	W 20010329

OTHER SOURCE(S): MARPAT 135:303878

L10 ANSWER 41 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:597978 CAPLUS
 DOCUMENT NUMBER: 135:166844
 TITLE: Preparation of piperazinyl and piperidinyl ketones useful for treating or preventing neuronal damage and for stimulating nerve growth
 INVENTOR(S): Tomlinson, Ronald; Lauffer, David; Mullican, Michael
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 112 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058891	A2	20010816	WO 2001-US4210	20010209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SO, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1257544	A2	20021120	EP 2001-912714	20010209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001008175	A	20030128	BR 2001-8175	20010209
JR 2003522767	T2	20030729	JR 2001-558441	20010209
ES 200200442	A	20031215	ES 2002-442	20010209
NZ 520638	A	20040528	NZ 2001-520638	20010209
ZA 2002005933	A	20030724	ZA 2002-5933	20020274
NO 2002003787	A	20021011	NO 2002-3787	20020209
PRIORITY APPLN. INFO.:			US 2000-181944P	P 20000211
			US 2000-247330P	P 20001110
			WO 2001-US4210	W 20010209

OTHER SOURCE(S): MARPAT 135:166844

L10 ANSWER 42 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:338555 CAPLUS
 DOCUMENT NUMBER: 134:340709
 TITLE: Preparation of substituted dipeptides having NOS inhibiting activity
 INVENTOR(S): Shima, Ichirou; Okawa, Takehiko; Ohne, Kazuhiko; Sato, Kentaro; Ishibashi, Naoki; Isomura, Kenichiro
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200102690	A1	20010510	WO 2000-JP7579	20001027
W: BR, CA, CH, JP, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1226159	A1	20020731	EP 2000-970164	20001027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
JP 200313104	T2	20030408	JP 2001-535389	20001027
PRIORITY APPLN. INFO.:			AU 1999-3868	A 19991104
			WO 2000-JP7579	W 20001027

OTHER SOURCE(S): MARPAT 134:340709
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 43 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:283789 CAPLUS
 DOCUMENT NUMBER: 134:311210
 TITLE: 5-Membered heterocycle derivatives useful as monoamine oxidase inhibitors, lipid peroxidation inhibitors, and sodium channel modulators, and the production thereof, and use thereof as medicaments
 INVENTOR(S): Chabrier de Lassaniere, Pierre-Etienne; Harnett, Jeremiah; Bigg, Dennis; Pommier, Jacques; Lannoy, Jacques; Liberate, Anne-Marie; Thuriseau, Christophe Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S., Fr.
 SOURCE: PCT Int. Appl., 261 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2799454	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020104	FR 2000-10151	20000801
BR 2000014649	A	20020618	BR 2000-14649	20001010
EP 1223933	A2	20020724	EP 2000-967988	20001010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1228760	A2	20020807	EP 2002-76763	20001010
EP 1228760	A3	20040128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003511416	T2	20030325	JP 2001-529718	20001010
NZ 518304	A	20040730	NZ 2000-518304	20001010
NO 2002001689	A	20020530	NO 2002-1689	20020410
US 2004132788	A1	20040708	US 2003-681002	20031008
PRIORITY APPLN. INFO.:			FR 1999-12643	A 19991011
			FR 2000-10151	A 20000801
			FR 2000-11169	A 20000801
			EP 2000-967988	A3 20001010
			EP 2002-76763	A3 20001010
			WO 2000-FR2805	W 20001010
			JP 1998-4943	A 20010410
			JP 1990-1811	A 20020214
			US 2002-89993	A2 20020404

OTHER SOURCE(S): MARPAT 134:311210

L10 ANSWER 44 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:152678 CAPLUS
 DOCUMENT NUMBER: 134:193433
 TITLE: Preparation of oxazoles and thiazoles useful as neurotrophin production/secretion promoting agents
 INVENTOR(S): Homose, Yu; Murase, Katsuhiro
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 143 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014372	A2	20010301	WO 2000-JP5681	20000824
WO 2001014372	A3	20020321		
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KG, KR, LZ, LV, MA, MD, MG, MN, MW, MZ, NO, NZ, PL, RO, RU, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2382355	A1	20010301	CA 2000-2382355	20000824
JP 2001131161	A2	20010515	JP 2000-259390	20000824
JP 3558588	B2	20040825		
JP 2002080467	A2	20020514	JP 2001-205451	20000824
BR 2000013493	A	20020514	BR 2000-13493	20000824
EP 1206472	A1	20020522	EP 2000-954966	20000824
EP 1206472	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 251156	E	20031001	AT 2000-954966	20000824
ES 2206292	T3	20040516	ES 2000-954966	20000824
PT 1206472	T	20040620	PT 2000-954966	20000824
US 6605629	B1	20030812	US 2001-868304	20010629
ZA 2002001044	A	20030206	ZA 2002-1044	20022026
NO 2002000831	A	20020424	NO 2002-831	20022020
HK 1044762	A1	20040121	HK 2002-105926	20020813
PRIORITY APPLN. INFO.:			JP 1999-238917	A 19990825
			JP 2000-259390	A3 20000824
			WO 2000-JP5681	W 20000824

OTHER SOURCE(S): MARPAT 134:193433

L10 ANSWER 45 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:115147 CAPLUS
 DOCUMENT NUMBER: 134:163031
 TITLE: Preparation of thiazole derivatives as p38MAP kinase inhibitors and inhibitors of TNF-
 a production
 INVENTOR(S): Ohkawa, Shigenori; Naruo, Kenichi; Kimura, Hiroyuki;
 Miyashita, Seiji
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCI Int. Appl., 166 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2001010865	A1	20010215	WO 2000-JP5198	20000803	
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZV, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, HL, MR, NE, SN, TD, TG					
CA 2381215	AA	20010215	CA 2000-2381215	20000803	
EP 1205478	A1	20020515	EP 2000-951874	20000803	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, NO, MK, CY, AL					
JP 2001114690	A2	20010424	JP 2000-242761	20000804	
PRIORITY APPLN. INFO.:			JP 1999-224651	A 19990806	
OTHER SOURCE(S): MARPAT 134:163031			WO 2000-JP5198	W 20000803	
REFERENCE COUNT: 30			THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		

L10 ANSWER 46 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:909213 CAPLUS
 DOCUMENT NUMBER: 134:56692
 TITLE: Aryl and heteroaryl alkoxynaphthalene derivatives, potent serotonin (5-HT1) agonists and antagonists, useful as psychotherapeutics
 INVENTOR(S): Howard, Harry R., Jr.; Chenard, Bertrand L.; Macor, John E.; Shenk, Kevin D.; Desai, Kishor A.
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: U.S., 29 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 6166020	A	20001226	US 1999-295138	19990420	
PRIORITY APPLN. INFO.:			US 1999-295138	19990420	
OTHER SOURCE(S): MARPAT 134:56692					
REFERENCE COUNT: 8			THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		

L10 ANSWER 47 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1999:576925 CAPLUS
 DOCUMENT NUMBER: 131:214289
 TITLE: Preparation of oxadiazolyl piperidine derivatives as rotamase enzyme inhibitors
 INVENTOR(S): Bull, David John; McGuire, Robert John; Palmer, Michael John; Wythes, Martin James
 PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Ltd.
 SOURCE: PCI Int. Appl., 237 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945006	A1	19990910	WO 1999-IB259	19990215
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SI, SB, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SI, UG, ZV, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, OM, GA, GN, GU, HL, MR, NE, SN, TD, TG				
CA 2322442	AA	19990910	CA 1999-2322442	19990215
AU 9921810	A1	19990920	AU 1999-21810	19990215
BR 9908490	A	20001205	BR 1999-8480	19990215
EP 1060178	A1	20001220	EP 1999-901847	19990215
EP 1060178	B1	20030903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI JP 2002505329			GB 1998-4426	A 19980302
AT 248836	E	20030915	JP 2000-534548	19990215
PT 1060178	T	20031231	AT 1999-901847	19990215
ES 2204101	T3	20040416	PT 1999-901847	19990215
US 6610707	B1	20030826	ES 1999-380427	19990215
PRIORITY APPLN. INFO.:			US 1999-380427	19990215
OTHER SOURCE(S): MARPAT 131:214289			GB 1998-4426	A 19980302
REFERENCE COUNT: 11			WO 1999-IB259	W 19990215
THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L10 ANSWER 48 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1998:79362 CAPLUS
 DOCUMENT NUMBER: 128:140694
 TITLE: 2-Acylamidothiazole derivatives with CNS activity
 INVENTOR(S): Sabb, Annmarie L.
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: U.S., 8 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5712270	A	19980127	US 1996-739559	19961030	
PRIORITY APPLN. INFO.:			US 1996-739559	19961030	
OTHER SOURCE(S): MARPAT 128:140694					
REFERENCE COUNT: 11			THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		

L10 ANSWER 49 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:767627 CAPLUS

DOCUMENT NUMBER: 124:21803

TITLE: Method and agents for preventing tissue injury from hypoxia

INVENTOR(S): Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PATENT ASSIGNEE(S): CS Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513075	A1	19950518	WO 1994-US12821	19941114
V: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9510907	A1	19950529	AU 1995-10907	19941114
EP 728003	A1	19960828	EP 1995-901808	19941114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:		US 1993-152117	A 19931112	
		WO 1994-US12821	W 19941114	

OTHER SOURCE(S): MARPAT 124:21803

L10 ANSWER 50 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:534139 CAPLUS

DOCUMENT NUMBER: 121:134139

TITLE: Preparation of pharmaceutically active bicyclic-heterocyclic amines

INVENTOR(S): Ayer, Donald E.; Bundy, Gordon L.; Jacobsen, Eric Jon

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9320078	A1	19931014	WO 1993-US2188	19930316
V: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LX, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9339174	A1	19931108	AU 1993-39174	19930316
AU 675932	B2	19970227		
EP 633886	A1	19950118	EP 1993-908303	19930316
EP 633886	B1	20001018		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 70954	A2	19951128	HU 1994-2829	19930316
JP 08502721	T2	19960326	JP 1993-517457	19930316
RU 2103272	C1	19980127	RU 1994-42466	19930316
PL 175347	B1	19981231	PL 1993-305430	19930316
PL 175327	B1	19981231	PL 1993-317810	19930316
AT 197051	E	20001115	AT 1993-908303	19930316
ES 2150941	T3	20001216	ES 1993-908303	19930316
PT 633886	T	20010330	PT 1993-908303	19930316
NO 9403655	A	19941205	NO 1994-3655	19940930
FI 9404602	A	19941003	FI 1994-4602	19941003
US 5502187	A	19960326	US 1994-317934	19941003
GR 3035189	T3	20010430	GR 2001-400006	20010104
LV 12794	B	20020620	LV 2001-150	20011018
PRIORITY APPLN. INFO.:			US 1992-863646	A2 19920403
			WO 1993-US2188	A 19930316
			US 1993-128957	B1 19930929
			US 1994-222995	B1 19940405

OTHER SOURCE(S): MARPAT 121:134139

L10 ANSWER 51 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:631063 CAPLUS

DOCUMENT NUMBER: 109:231063

TITLE: N-(2-Aminoethyl)heterocyclecarboxamides, their preparation and their use for treatment of depression and parkinsonism

INVENTOR(S): Iahof, René Kyburz, Emilio

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Faming Zuanli Shengqing Gongkai Shoumuingshu, 46 pp.

CODEN: CNXKEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 85107182	A	19870408	CN 1985-107182	19850927
CN 1023479	B	19940112	CN 1985-107182	19850927

L10 ANSWER 52 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:215833 CAPLUS

DOCUMENT NUMBER: 108:215833

TITLE: Dopamine receptor binding activity of anti-Parkinsonian active piperazine derivatives

AUTHOR(S): Srivastava, Vijai K.; Palit, G.; Agarwal, A. K.; Shanker, K.

CORPORATE SOURCE: Dep. Pharmacol., King George's Med. Coll., Lucknow, 226 003, India

SOURCE: Indian Journal of Experimental Biology (1988), 26(1), 15-17

DOCUMENT TYPE: Journal

LANGUAGE: English

L10 ANSWER 53 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1981:481024 CAPLUS
 DOCUMENT NUMBER: 95:81024
 TITLE: Naphthalene derivatives
 INVENTOR(S): Regnier, Gilbert; Canevari, Roger; Poignant, Jean Claude
 PATENT ASSIGNEE(S): Science Union et Cie., Societe Francaise de Recherche Medicale, Fr.
 SOURCE: Can., 13 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1099718	A1	19810421	CA 1977-278251	19770512
PRIORITY APPLN. INFO.: CA 1977-278251 19770512				

L10 ANSWER 54 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1979:457056 CAPLUS
 DOCUMENT NUMBER: 91:57056
 TITLE: Disubstituted piperazines
 INVENTOR(S): Regnier, Gilbert; Canevari, Roger; Laubie, Michel; Poignant, Jean Claude; Bures, Yvette
 PATENT ASSIGNEE(S): Science Union et Cie., Societe Francaise de Recherche Medicale, Fr.
 SOURCE: Ger. Offen., 17 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2848139	A1	19790510	DE 1978-2848139	19781106
FR 2408605	A1	19790608	FR 1978-31097	19781103
FR 2408605	B1	19820205		
US 4260610	A	19810407	US 1978-957659	19781103
AU 7841407	A1	19790517	AU 1978-41407	19781107
ZA 7806262	A	19791031	ZA 1978-6262	19781107
BE 871857	A1	19790508	BE 1978-191610	19781108
IL 55894	A1	19810913	IL 1978-55894	19781108
JP 61036755	B4	19860820	JP 1978-137766	19781108
NL 7811135	A	19790511	NL 1978-11135	19781109
GB 2009732	A	19790620	GB 1978-43885	19781109
GB 2009732	B2	19820203		
CA 1117944	A1	19820209	CA 1978-316093	19781109
PRIORITY APPLN. INFO.: GB 1977-46646 19771109				

L10 ANSWER 55 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1978:22980 CAPLUS
 DOCUMENT NUMBER: 88:22980
 TITLE: N-Thiazolyl-N'-naphthylmethylpiperazines
 INVENTOR(S): Regnier, Gilbert; Canevari, Roger; Poignant, Jean Claude
 PATENT ASSIGNEE(S): Science Union et Cie., Societe Francaise de Recherche Medicale, Fr.
 SOURCE: Ger. Offen., 14 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2714148	A1	19771013	DE 1977-2714148	19770330
DE 2714148	B2	19800807		
DE 2714148	C3	19811105		
ES 457370	A1	19780201	ES 1977-457370	19770330
ZA 7702026	A	19780530	ZA 1977-2026	19770404
FI 7701097	A	19771013	FI 1977-1097	19770406
SE 7704055	A	19771013	SE 1977-4055	19770406
NL 7703839	A	19771014	NL 1977-3939	19770407
AU 7724090	A1	19781012	AU 1977-24090	19770407
FR 2349212	A1	19771110	FR 1977-10686	19770408
FR 2349212	B1	19800328		
US 4112092	A	19780906	US 1977-785855	19770408
JP 52125180	A2	19771020	JP 1977-41260	19770411
BE 853513	A1	19771012	BE 1977-176642	19770412
GB 1518559	A	19780719	GB 1976-14811	19770412
PRIORITY APPLN. INFO.: GB 1976-14811 19760412				

L10 ANSWER 56 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1975:497375 CAPLUS
 DOCUMENT NUMBER: 83:97375
 TITLE: Piperazine derivatives
 INVENTOR(S): Regnier, Gilbert; Canevari, Roger; Laubie, Michel; Poignant, Jean C.
 PATENT ASSIGNEE(S): Science Union et Cie, Fr.
 SOURCE: Ger. Offen., 21 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2450193	A1	19760507	DE 1974-2450193	19741022
GB 1432160	A	19760422	GB 1973-50309	19731030
US 3954765	A	19760504	US 1974-512461	19741007
FR 2248810	A1	19760523	FR 1974-35776	19741025
CA 1945176	A1	19780711	CA 1974-12265	19741025
SU 5490500	D	19770228	SU 1974-2073853	19741029
JP 53035955	B4	19780929	JP 1974-124828	19741029
JP 50071685	A2	19750613		
ES 431526	A1	19760901	ES 1974-431526	19741030
PRIORITY APPLN. INFO.: GB 1973-50309 19731030				

L10 ANSWER 57 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1975:4307 CAPLUS
 DOCUMENT NUMBER: 82:4307
 TITLE: **Piperazines**
 INVENTOR(S): Regnier, Gilbert; Canevari, Roger; Laubie, Michel;
 Poignant, Jean C.
 PATENT ASSIGNEE(S): Science Union et Cie., Societe Francaise de Recherche
 Medicale
 SOURCE: Ger. Offen., 26 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2415082	A1	19741010	DE 1974-2415082	19740328
DE 2415082	B2	19771222		
FR 2223009	A1	19741025	FR 1974-8609	19740314
ZA 7401902	A	19750326	ZA 1974-1902	19740325
US 3944551	A	19760316	US 1974-454665	19740325
ES 424774	A1	19770101	ES 1974-424774	19740329
BE 813142	A1	19741001	BE 1974-142715	19740401
JP 50111084	A2	19750901	JP 1974-36876	19740401
JP 55000388	B4	19800108		
AU 7467394	A1	19751002	AU 1974-67394	19740401
HU 168560	P	19760528	HU 1974-511390	19740401
CA 1008861	A1	19770419	CA 1974-196507	19740401
SU 563121	D	19770625	SU 1974-2011085	19740401
CH 599201	A	19780512	CH 1977-7921	19740401
CH 599200	A	19780512	CH 1977-7920	19740401
CH 599954	A	19780615	CH 1974-4528	19740401
NL 7404440	A	19741004	NL 1974-4440	19740402
AT 7402731	A	19761015	AT 1974-2731	19740402
AT 337188	B	19770610		
AT 7608834	A	19770515	AT 1976-8834	19761129
AT 340939	B	19780110		
AT 7608833	A	19770515	AT 1976-8833	19761129
AT 340938	B	19780110		
AT 342606	B	19780410	AT 1976-8832	19761129
JP 54138583	A2	19791027	JP 1978-123470	19781006
JP 56002069	B4	19810117		
PRIORITY APPLN. INFO.:				
GB 1973-15692				
AT 1974-2731				
19730402				
19740402				

L10 ANSWER 58 OF 58 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1974:14960 CAPLUS
 DOCUMENT NUMBER: 80:14960
 TITLE: **S-(Piperazinylmethyl)-1,3-benzodioxoles**
 INVENTOR(S): Regnier, Gilbert; Canevari, Roger; Laubie, Michel;
 Poignant, Jean C.
 PATENT ASSIGNEE(S): Science Union et Cie., Societe Francaise de Recherche
 Medicale
 SOURCE: Ger. Offen., 14 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2316920	A1	19731018	DE 1973-2316920	19730404
DE 2316920	B2	19770721		
ZA 7301701	A	19740227	ZA 1973-1701	19730312
ZA 7301701	A1	19740919	AU 1973-53383	19730316
US 3917597	A	1975104	US 1973-342284	19730316
CA 984393	A1	19760224	CA 1973-167740	19730402
NL 7304685	A	19731009	NL 1973-4685	19730404
NL 157014	B	19780615		
SE 397830	B	19771121	SE 1973-4838	19730405
BE 797905	A1	19731008	BE 1973-129759	19730406
JP 49007297	A2	19740122	JP 1973-39402	19730406
JP 52012715	B4	19770408		
FR 2190443	A1	19740201	FR 1973-12393	19730406
SU 507232	D	19760315	SU 1973-1902744	19730406
CH 567502	A	19751015	CH 1973-5061	19730409
US 4010267	A	19770301	US 1975-562226	19750326
PRIORITY APPLN. INFO.:				
GB 1972-16098				
US 1973-342284				
19730316				